index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:54:22 ON 22 JUN 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 JUN 2004 HIGHEST RN 697224-75-2
DICTIONARY FILE UPDATES: 21 JUN 2004 HIGHEST RN 697224-75-2

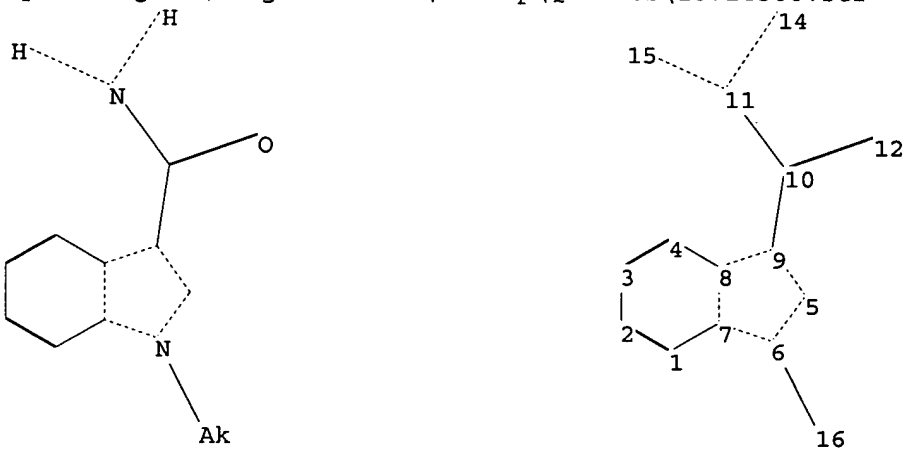
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10714568.str



chain nodes :
10 11 12 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-16 9-10 10-11 10-12 11-14 11-15
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :

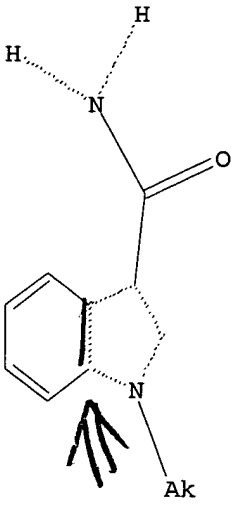
10714568

5-6 5-9 6-7 6-16 7-8 8-9 10-11 10-12 11-14 11-15
exact bonds :
1-2 1-7 2-3 3-4 4-8 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:54:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 102 TO ITERATE

100.0% PROCESSED 102 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1435 TO 2645
PROJECTED ANSWERS: 0 TO 0

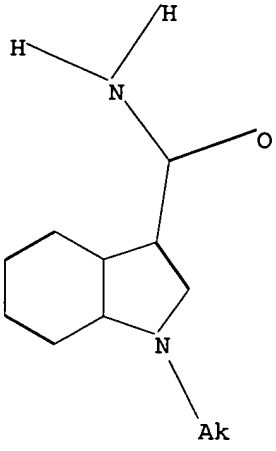
L2 0 SEA SSS SAM L1

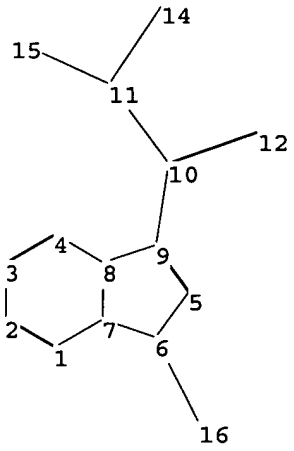
=> s l1 sss full
FULL SEARCH INITIATED 11:54:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2436 TO ITERATE

100.0% PROCESSED 2436 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10714568a.str



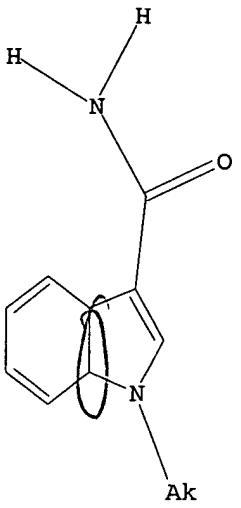


chain nodes :
10 11 12 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-16 9-10 10-11 10-12 11-14 11-15
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 6-16 10-11 10-12
exact bonds :
1-2 1-7 2-3 3-4 4-8 5-9 7-8 8-9 9-10 11-14 11-15
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS

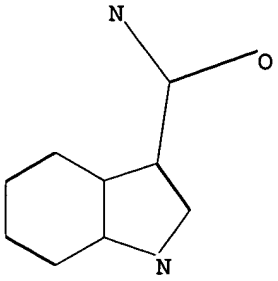
L4 STRUCTURE UPLOADED

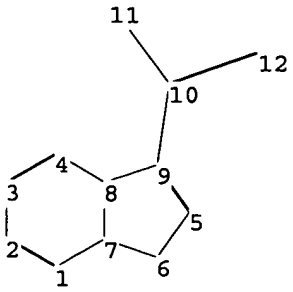
=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 11:56:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      9 TO ITERATE

100.0% PROCESSED       9 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             9 TO      360
PROJECTED ANSWERS:                0 TO        0

L5            0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 11:56:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    210 TO ITERATE

100.0% PROCESSED     210 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.01

L6            0 SEA SSS FUL L4

=>
Uploading C:\Program Files\Stnexp\Queries\10714568c.str
```



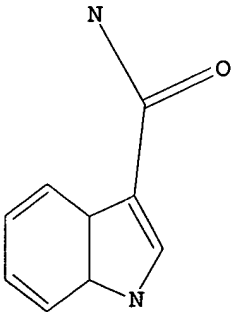


chain nodes :
10 11 12
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-10 10-11 10-12
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 10-11 10-12
exact bonds :
1-2 1-7 2-3 3-4 4-8 5-9 7-8 8-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS

L7 STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l7

10714568

SAMPLE SEARCH INITIATED 11:57:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 0 TO 0

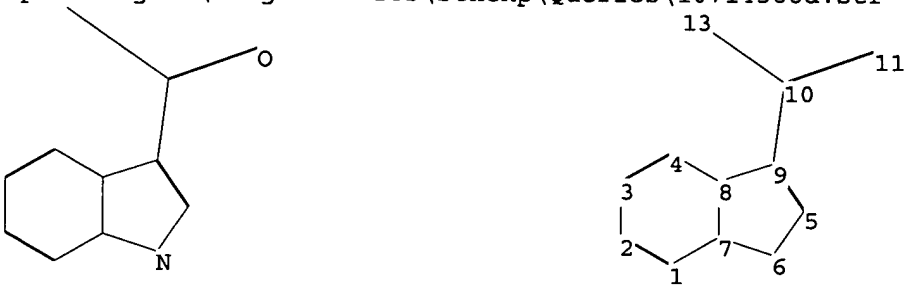
L8 0 SEA SSS SAM L7

=> s l7 sss full
FULL SEARCH INITIATED 11:58:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 210 TO ITERATE

100.0% PROCESSED 210 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L9 0 SEA SSS FUL L7

=>
Uploading C:\Program Files\Stnexp\Queries\10714568d.str



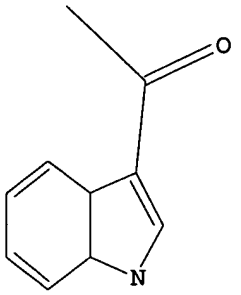
chain nodes :
10 11 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-10 10-11 10-13
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 10-11
exact bonds :
1-2 1-7 2-3 3-4 4-8 5-9 7-8 8-9 9-10 10-13
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 13:CLASS

L10 STRUCTURE UPLOADED

10714568

```
=> d l10
L10 HAS NO ANSWERS
L10             STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l10
SAMPLE SEARCH INITIATED 12:01:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     11 TO ITERATE

100.0% PROCESSED      11 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:           22 TO      418
PROJECTED ANSWERS:               1 TO       80

L11           1 SEA SSS SAM L10

=> s l10 sss full
FULL SEARCH INITIATED 12:01:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    257 TO ITERATE

100.0% PROCESSED     257 ITERATIONS                               1 ANSWERS
SEARCH TIME: 00.00.01

L12           1 SEA SSS FUL L10

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                             625.04     625.25

FILE 'CAPLUS' ENTERED AT 12:01:50 ON 22 JUN 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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American Chemical Society and is provided to assist you in searching
```
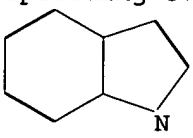

FILE COVERS 1907 - 22 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 21 Jun 2004 (20040621/ED)

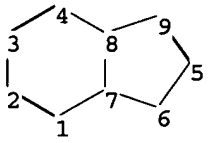
This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l12
L13           0 L12

=> d his

     (FILE 'HOME' ENTERED AT 11:53:52 ON 22 JUN 2004)

     FILE 'REGISTRY' ENTERED AT 11:54:22 ON 22 JUN 2004
L1              STRUCTURE UPLOADED
L2            0 S L1
L3            0 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5            0 S L4
L6            0 S L4 SSS FULL
L7              STRUCTURE UPLOADED
L8            0 S L7
L9            0 S L7 SSS FULL
L10             STRUCTURE UPLOADED
L11           1 S L10
L12           1 S L10 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:01:50 ON 22 JUN 2004
L13           0 S L12

=> s l12
L14           0 L12

=> FIL REGISTRY
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                3.07     628.32

FILE 'REGISTRY' ENTERED AT 12:06:06 ON 22 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   21 JUN 2004  HIGHEST RN 697224-75-2
DICTIONARY FILE UPDATES:  21 JUN 2004  HIGHEST RN 697224-75-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.
```

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10714568e.str



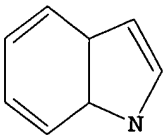


ring nodes :
1 2 3 4 5 6 7 8 9
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7
exact bonds :
1-2 1-7 2-3 3-4 4-8 5-9 7-8 8-9
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom

L15 STRUCTURE UPLOADED

=> d l15
L15 HAS NO ANSWERS
L15 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l15
SAMPLE SEARCH INITIATED 12:06:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2869 TO ITERATE

34.9% PROCESSED 1000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 54168 TO 60592

PROJECTED ANSWERS: 2 TO 257

L16 2 SEA SSS SAM L15

=> s l15 sss full
FULL SEARCH INITIATED 12:06:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 56102 TO ITERATE

100.0% PROCESSED 56102 ITERATIONS 89 ANSWERS
SEARCH TIME: 00.00.01

L17 89 SEA SSS FUL L15

=> FIL CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	783.74

FILE 'CAPLUS' ENTERED AT 12:06:39 ON 22 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 22 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 21 Jun 2004 (20040621/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l17
L18 34 L17

=> s l18 and py<=2002
22503583 PY<=2002
L19 29 L18 AND PY<=2002

=> s l19 and thu
138 THU
2162536 THUS
2162659 THU
(THU OR THUS)
L20 9 L19 AND THU

=> d l20 ibib abs hitstr tot

L20 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:181089 CAPLUS
DOCUMENT NUMBER: 132:209146

TITLE: Lightfast coloring agents and image recording materials, thermal transfer materials, and ink-jet recording fluids containing them
INVENTOR(S): Oya, Hidenobu; Kaneko, Manabu; Kida, Shuji
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 46 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

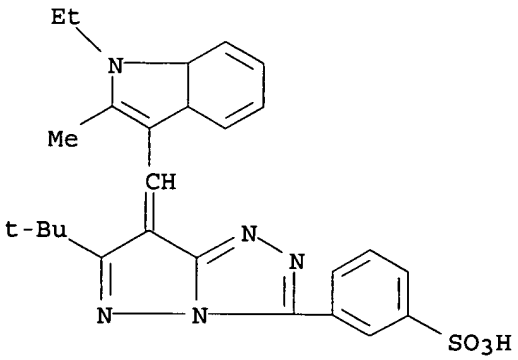
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000080295	A2	20000321	JP 1999-143284	19990524 <--

PRIORITY APPLN. INFO.: JP 1998-193794 A 19980624
OTHER SOURCE(S): MARPAT 132:209146

AB The coloring agents represented by AN:B [I; B = coupler component binding to N at an active site; A = N-containing heterocyclic or heteropolycyclic ring, where ≥1 N in the ring is placed at an end of conjugation to form conjugated chain with N:B; except A being amino-substituted hetero ring and B being (un)substituted phenol] are prepared Other coloring agents AC(R1):B (R1 = H, substituent), etc., are also claimed. **Thus**, a MEK-based thermal transfer ink containing I [A = 1-tert-butyl-3-pyrrolyl; B = C(OCMe3)CONH-o-C6H4OMe] and polyvinyl butyral (BL 1) formed a light-resistant yellow image. Syntheses of several colorants were exemplified.

IT **260801-71-6 260801-72-7**
RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)
(lightfast coloring agents for image recording materials, thermal transfer materials, and ink-jet recording fluids)

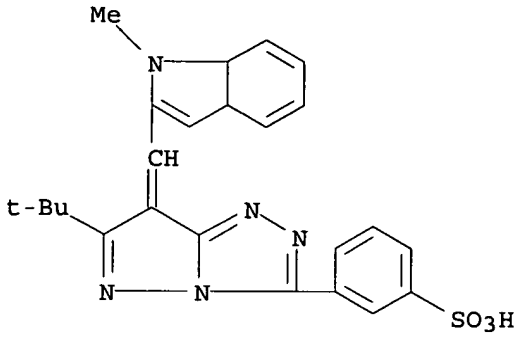
RN 260801-71-6 CAPLUS
CN Benzenesulfonic acid, 3-[6-(1,1-dimethylethyl)-7-[(1-ethyl-3a,7a-dihydro-2-methyl-1H-indol-3-yl)methylene]-7H-pyrazolo[5,1-c]-1,2,4-triazol-3-yl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 260801-72-7 CAPLUS
CN Benzenesulfonic acid, 3-[7-[(3a,7a-dihydro-1-methyl-1H-indol-2-yl)methylene]-6-(1,1-dimethylethyl)-7H-pyrazolo[5,1-c]-1,2,4-triazol-3-yl]-

, sodium salt (9CI) (CA INDEX NAME)



● Na

L20 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:325961 CAPLUS
DOCUMENT NUMBER: 130:352553
TITLE: Synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins
INVENTOR(S): Altmann, Eva; Betschart, Claudia; Gohda, Keigo; Horiuchi, Miyuki; Lattmann, Rene; Missbach, Martin; Sakaki, Junichi; Takai, Michihiro; Teno, Naoki; Cowen, Scott Douglas; Greenspan, Paul David; McQuire, Leslie Wighton; Tommasi, Ruben Alberto; Van Duzer, John Henry
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft mbH
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924460	A2	19990520	WO 1998-EP6937	19981103 <--
WO 9924460	A3	19990902		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306313	AA	19990520	CA 1998-2306313	19981103 <--
AU 9914873	A1	19990531	AU 1999-14873	19981103 <--
AU 751669	B2	20020822		
EP 1028942	A2	20000823	EP 1998-958887	19981103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9813197	A	20000829	BR 1998-13197	19981103 <--

TR 200001189	T2	20000921	TR 2000-20000118	19981103 <--
JP 2001522862	T2	20011120	JP 2000-520468	19981103 <--
RU 2201420	C2	20030327	RU 2000-114821	19981103
ZA 9810073	A	19990505	ZA 1998-10073	19981104 <--
TW 527362	B	20030411	TW 1998-87118553	19981105
NO 2000002320	A	20000704	NO 2000-2320	20000502 <--
US 6353017	B1	20020305	US 2000-643639	20000822 <--
US 2004029814	A1	20040212	US 2003-342872	20030115
US 2004110806	A1	20040610	US 2003-694672	20031028

PRIORITY APPLN. INFO.:	GB 1997-23407	A	19971105
	US 1997-108160P	P	19971205
	US 1997-985973	A	19971205
	WO 1998-EP6937	W	19981103
	US 1998-186223	B1	19981104
	US 2000-643639	A1	20000822
	US 2002-54590	B1	20020122
	US 2003-342872	A1	20030115

OTHER SOURCE(S): MARPAT 130:352553

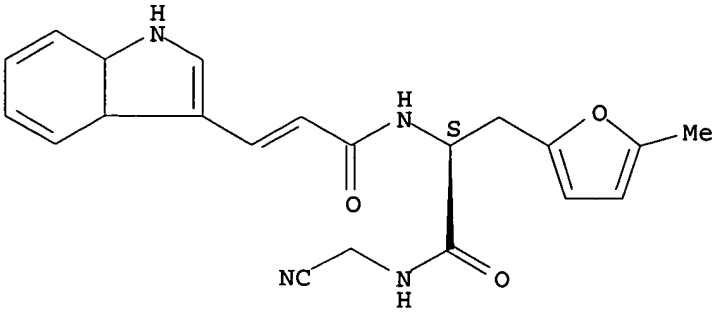
AB N-terminal substituted dipeptide nitriles R(L)xX1NHCR2R3C(:Y)NHCR4R5CN [R is optionally substituted aryl, alkyl, alkenyl, alkynyl, heterocyclyl; R2, R3 = H, optionally substituted alkyl, cycloalkyl, bicycloalkyl, or aryl-, biaryl-, cycloalkyl, bicycloalkylalkyl; R2 and R3 together represent alkylene, optionally interrupted by O, S, or NR6, where R6 is H, alkyl, arylalkyl; or R2 or R3 are linked by alkylene to the adjacent nitrogen to form a ring; R4, R5 = H, optionally substituted alkyl, arylalkyl, CO2R7, CONR7R8 (R7 is optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, or heterocyclyl and R8 is H or optionally substituted alkyl, aryl, arylalkyl, cycloalkyl, bicycloalkyl, heterocyclyl), etc.; R4 and R5 together represent alkylene, optionally interrupted by O, S, or NR6; X1 = CO, CS, SO, SO2, P(O)OR6; Y = O, S: L is optionally substituted Het, Het-CH2, CH2-Het (Het = O, N, or S); x = zero or 1] were prepared as inhibitors of cysteine cathepsins, e.g., cathepsins B, K, L and S, and can be used for the treatment of cysteine cathepsin dependent diseases and conditions. **Thus**, N-[2-[(3-carboxyphenyl)methoxy]-1(S)-cyanoethyl]-3-methyl-Nα-(2,2-diphenylacetyl)-L-phenylalaninamide was prepared and shown to have IC50 ≈ 5 nM for inhibition of cathepsin B.

IT **225119-82-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of dipeptide nitriles as inhibitors of cysteine cathepsins)

RN 225119-82-4 CAPLUS

CN 2-Furanpropanamide, N-(cyanomethyl)-α-[[3-(3a,7a-dihydro-1H-indol-3-yl)-1-oxo-2-propenyl]amino]-5-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L20 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:1473 CAPLUS
DOCUMENT NUMBER: 128:61805
TITLE: Preparation of pyridylsulfonyl amino acid amide derivatives as thrombin inhibitors
INVENTOR(S): Brundish, Derek Edward; Brown, Lyndon Nigel; Le Grand, Darren Mark; Menear, Keith Allan; Smith, Garrick Paul; Allen, Mark Christopher; Butler, Paul Ian; Cockroft, Xiao-Ling; Matthews, Ian Timothy William; Walker, Clive Victor; et al.
PATENT ASSIGNEE(S): Novartis A.-G., Switz.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9746553	A1	19971211	WO 1997-GB1385	19970521 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9729081	A1	19980105	AU 1997-29081	19970521 <--
ZA 9704779	A	19971201	ZA 1997-4779	19970530 <--

PRIORITY APPLN. INFO.: GB 1996-11461 A 19960601
WO 1997-GB1385 W 19970521
OTHER SOURCE(S): MARPAT 128:61805
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

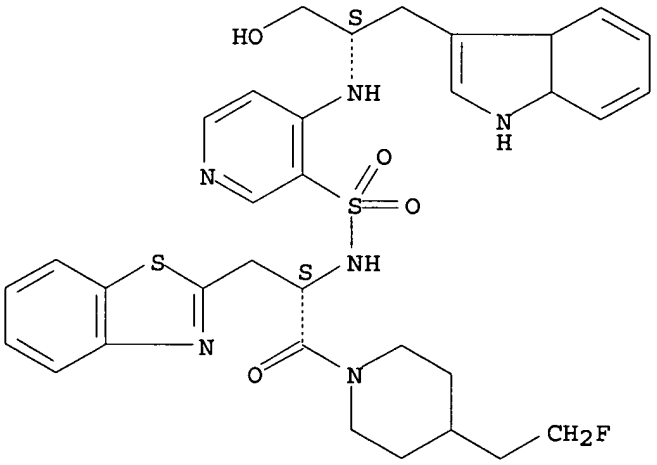
AB The present invention provides pyridylsulfonylamino acid amides I [Y = primary or secondary amino, group Q; A and R are absent; A = CH2, CH2CH2, R = H, halo, N3, CO2R8, SR12; B = CH or absent, provided that when B is absent, A and R are also absent; R1 = H, alkyl, optionally substituted alkyl optionally interrupted by O, S, carboxylaminocarbonyl,

carbonylamino; optionally substituted Ph ring, Ph ring containing 1 or more heteroatoms, cyclohexane or bicyclic ring containing 1 or more heteroatoms; R2 = natural or synthetic amino acid residue; R3 = H, C1-8 alkyl optionally substituted by OH or halo; X = (CH2)n, CH2N, fused Ph ring optionally substituted by 1 or 2 methoxy groups; R8 = H, alkyl, OR9; R9 = H, alkyl, (un)substituted hydroxyalkyl, (un)substituted carboxyalkyl, (un)substituted amidoalkyl, SO2-alkyl, SO2-aryl, NR10R11; R10, R11 = independently H, optionally substituted alkyl optionally interrupted by O, MeSO2; NR10R11 = ring optionally containing another heteroatom; R12 = H, hydroxyalkyl; m = 0-2; n = 1-3] or a salt thereof. **Thus**, sulfonylation of benzothiazolylamino acid amide II (preparation given) with 4-chloro-3-pyridinesulfonyl chloride, followed by pyridine substitution with (S)-2-amino-3-phenyl-1-propanol gave desired thrombin inhibitor III. III inhibited human α-thrombin with Ki = 6 nM.

IT **200268-41-3P 200268-42-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylsulfonyl amino acid amide derivs. as thrombin inhibitors)

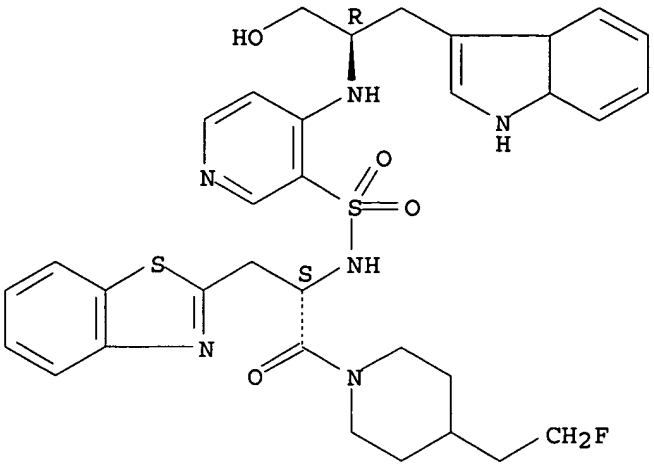
RN 200268-41-3 CAPLUS
CN Piperidine, 1-[3-(2-benzothiazolyl)-2-[[[4-[[2-(3a,7a-dihydro-1H-indol-3-yl)-1-(hydroxymethyl)ethyl]amino]-3-pyridinyl]sulfonyl]amino]-1-oxopropyl]-4-(2-fluoroethyl)-, [3[S(S)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 200268-42-4 CAPLUS
CN Piperidine, 1-[3-(2-benzothiazolyl)-2-[[[4-[[2-(3a,7a-dihydro-1H-indol-3-yl)-1-(hydroxymethyl)ethyl]amino]-3-pyridinyl]sulfonyl]amino]-1-oxopropyl]-4-(2-fluoroethyl)-, [3[R(S)]]-[partial]- (9CI) (CA INDEX NAME)

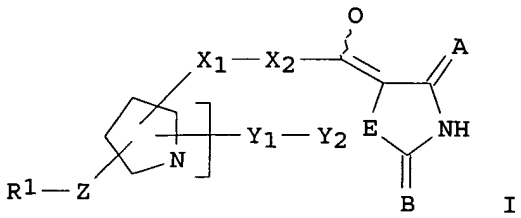
Absolute stereochemistry.



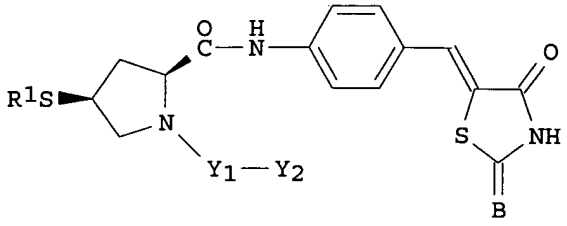
L20 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:240627 CAPLUS
DOCUMENT NUMBER: 126:225294
TITLE: Preparation of pyrrolidine derivatives as phospholipase A2 inhibitors
INVENTOR(S): Ohtani, Mitsuaki; Kato, Toshiyuki; Watanabe, Fumihiko; Seno, Kaoru
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9705135	A1	19970213	WO 1996-JP2079	19960725	<--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA					
CA 2227829	AA	19970213	CA 1996-2227829	19960725	<--
AU 9665308	A1	19970226	AU 1996-65308	19960725	<--
AU 707537	B2	19990715			
EP 848004	A1	19980617	EP 1996-925076	19960725	<--
EP 848004	B1	20030402			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1197458	A	19981028	CN 1996-197208	19960725	<--
CN 1064682	B	20010418			
BR 9609744	A	19990302	BR 1996-9744	19960725	<--
AT 236154	E	20030415	AT 1996-925076	19960725	
PT 848004	T	20030731	PT 1996-925076	19960725	
ES 2196163	T3	20031216	ES 1996-925076	19960725	
US 5955616	A	19990921	US 1998-11404	19980128	<--
HK 1016598	A1	20010824	HK 1999-101691	19990420	<--

PRIORITY APPLN. INFO.: JP 1995-194648 A 19950731
WO 1996-JP2079 W 19960725
OTHER SOURCE(S): MARPAT 126:225294
GI



I



II

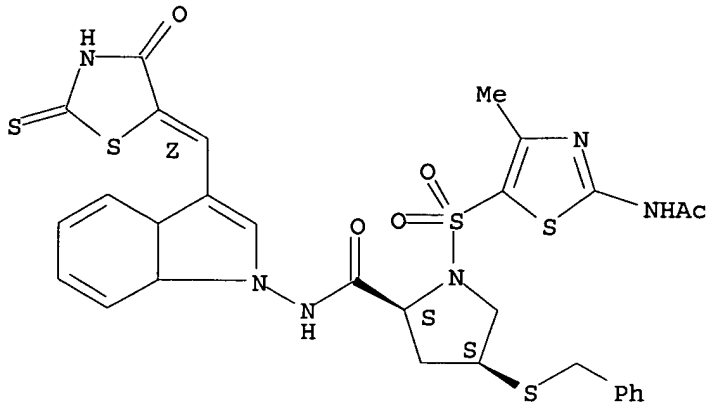
AB The title compds. [I; R1 = H, (un)substituted alkyl, alkenyl, or aralkyl, etc.; A, B, E = O, S; X1 = CO, CONH, CH2NHSO2, etc.; X2 = (un)substituted arylene or indolediyl, single bond; D = H, hydroxyalkyl; Y1 = (CH2)mCO, (CH2)nNHCO, etc.; m, n = 0-3; Y2 = H, alkyl, (un)substituted alkenyl, etc.; Z = S, SO, O, NH, CONH, CONHCH2, single bond] and pharmaceutically acceptable salts thereof are prepared I have the activity of inhibiting the production of prostaglandin E2 by inhibiting intracellular phospholipase A2. I, having the activity of inhibiting the production of prostaglandin E2 by inhibiting intracellular phospholipase A2, are useful for prevention and treatment of rheumatoid arthritis, asthma, allergic rhinitis, and related diseases. **Thus**, the title compound (II; R1 = C6H4CH2, Y2-Y1 = C6H4CO, B = S), which was prepared by 13 step reactions, showed IC50 of 7.2 μM cPLA2 inhibitory activity.

IT **188109-01-5P 188109-02-6P 188109-03-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine derivs. as phospholipase A2 inhibitors)

RN 188109-01-5 CAPLUS

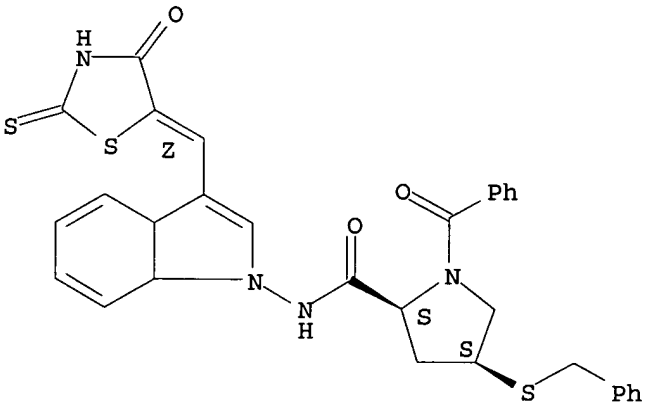
CN 2-Pyrrolidinecarboxamide, 1-[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl]-N-[3a,7a-dihydro-3-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]-1H-indol-1-yl]-4-[(phenylmethyl)thio]-, [1(2S,4S),3(Z)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



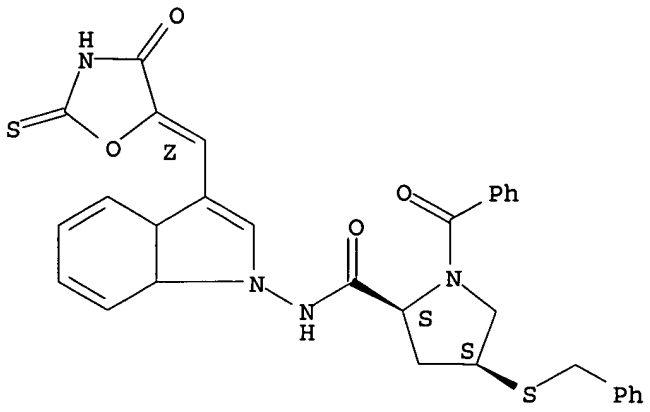
RN 188109-02-6 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-benzoyl-N-[3a,7a-dihydro-3-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]-1H-indol-1-yl]-4-[(phenylmethyl)thio]-, [1(2S,4S),3(Z)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

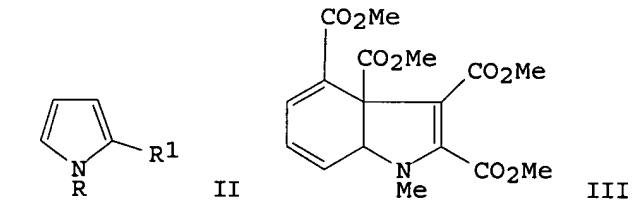


RN 188109-03-7 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-benzoyl-N-[3a,7a-dihydro-3-[(4-oxo-2-thioxo-5-oxazolidinylidene)methyl]-1H-indol-1-yl]-4-[(phenylmethyl)thio]-, [1(2S,4S),3(Z)]-[partial]- (9CI) (CA INDEX NAME)

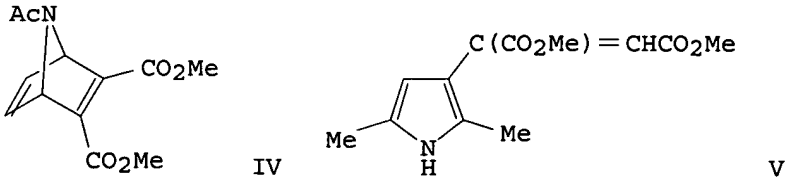
Absolute stereochemistry.
Double bond geometry as shown.



L20 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:53585 CAPLUS
DOCUMENT NUMBER: 98:53585
TITLE: High-pressure reactions of pyrroles with dimethyl acetylenedicarboxylate
AUTHOR(S): Kotsuki, Hiyoshizo; Mori, Yuichiro; Nishizawa, Hitoshi; Ochi, Masamitsu; Matsuoka, Kiyoshi
CORPORATE SOURCE: Fac. Sci., Kochi Univ., Kochi, 780, Japan
SOURCE: Heterocycles (**1982**), 19(10), 1915-20
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 98:53585
GI



II III

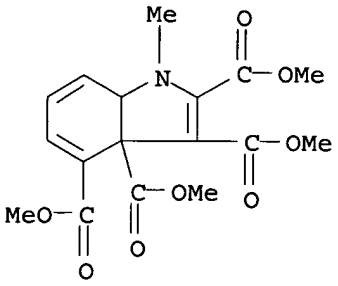


IV V

AB Treating pyrroles with MeO2CC.tplbond.CCO2Me (I) gave pyrroles II [R or R1 = H, C(CO2Me):CHCO2Me], indole III, Diels-Alder adduct IV, and E- and Z-V. **Thus**, treating pyrrole with I at 15 kbar at 40° gave 16% E- and 2% Z-II [R = H, R1 = C(CO2Me):CHCO2Me] and 3% II [R = C(CO2Me):CHCO2Me, R1 = H].

IT **1444-11-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

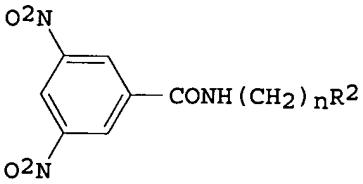
RN 1444-11-7 CAPLUS
CN 1H-Indole-2,3,3a,4(7aH)-tetracarboxylic acid, 1-methyl-, tetramethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:121664 CAPLUS
DOCUMENT NUMBER: 84:121664
TITLE: Carboxylic acid amides
INVENTOR(S): Kabbe, Hans J.; Otten, Hinrich; Mayer, Karl Heinrich; Klauke, Erich
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 2428673	A1	19760102	DE 1974-2428673	19740614	<--
GB 1465255	A	19770223	GB 1975-20816	19750516	<--
US 3995044	A	19761130	US 1975-583464	19750603	<--
JP 51008262	A2	19760123	JP 1975-70276	19750612	<--
AT 7504510	A	19771115	AT 1975-4510	19750612	<--
BE 830211	A1	19751215	BE 1975-157305	19750613	<--
DK 7502680	A	19751215	DK 1975-2680	19750613	<--
NL 7507100	A	19751216	NL 1975-7100	19750613	<--
FR 2274294	A1	19760109	FR 1975-18588	19750613	<--
ES 438532	A1	19770201	ES 1975-438532	19750613	<--

PRIORITY APPLN. INFO.: DE 1974-2428673 19740614
GI

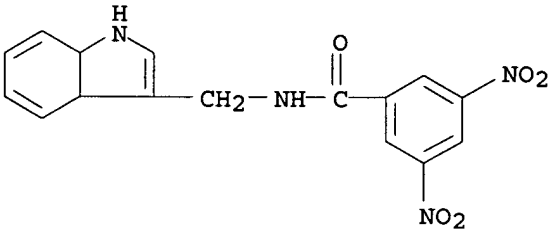


I

AB Amides RCONHR1 (R = substituted phenyl, R1 = heterocyclylalkyl) (55 compds.), including I (n = 1, R2 = 3-quinolyl, 3-pyridyl, 4-pyridyl,

6-methyl-2-pyridyl, 2-quinolyl, 2-pyridyl, 2-tetrahydrofuryl, 2,3-dihydro-4H-pyran-2-yl; n = 2, R2 = 2-pyridyl, n = 3, R2 = pyrrolo) were prepared by acylating R1NH2 with acid derivs. The amides are tuberculostatics. **Thus** I (n = 1, R2 = 2-pyridyl) had a min. inhibitory concentration against isoniazid-sensitive and -resistant Mycobacterium tuberculosis of 1 μg/ml.

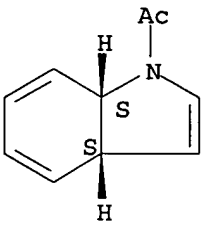
IT **58624-84-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58624-84-3 CAPLUS
CN Benzamide, N-(1H-indol-3-ylmethyl)-3,5-dinitro- (9CI) (CA INDEX NAME)



L20 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:404733 CAPLUS
DOCUMENT NUMBER: 79:4733
TITLE: Thermal reorganization of select azabicyclo[m.n.0]nonatrienes. Generation of a cis,cis,trans,cis-azonine
AUTHOR(S): Anastassiou, A. G.; Elliott, R. L.; Wright, H. W.; Clardy, J.
CORPORATE SOURCE: Dep. Chem., Syracuse Univ., Syracuse, NY, USA
SOURCE: Journal of Organic Chemistry (**1973**), 38(10), 1959-61
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 79:4733
GI For diagram(s), see printed CA Issue.
AB Azabicyclononatriene (I) was warmed to 56° to give an equilibrium mixture of I and its isomer (II). At higher temps., i.e. 76°, two new isomers (III and IV) were formed. IV readily thermolyzes at 110° to yield a mixture of .apprx.35% III and 65% V. The reaction mechanism was examined by a cycloadditive trapping technique. **Thus**, I was treated with 2,5-dimethyl-3,4-diphenyl-2,4-cyclopentadienone to give azonine derivs. VI and VII. These products were explained in terms of the azonine intermediate (VIII).

IT **41079-31-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41079-31-6 CAPLUS
CN 1H-Indole, 1-acetyl-3a,7a-dihydro-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



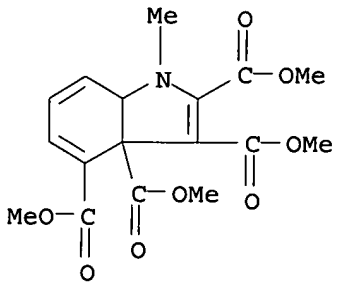
L20 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:90126 CAPLUS
DOCUMENT NUMBER: 62:90126
ORIGINAL REFERENCE NO.: 62:16021d-h
TITLE: Nuclear magnetic resonance spectra and conformations of 10-carbethoxy-1,1-dimethyldecalins. Conformational effects on proton nonequivalence
AUTHOR(S): Meyer, Walter L.; Davis, Daniel L.; Foster, Lincoln; Levinson, Alfred S.; Sawin, Virginia L.; Shew, D. Craig; Weddleton, Richard F.
CORPORATE SOURCE: Indiana Univ., Bloomington
SOURCE: Journal of the American Chemical Society (**1965**), 87(7), 1573-80
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The O-methylene protons of the ethoxy groups in a series of 10-carbethoxy-1,1-dimethyl-trans-decalin and 10-carbethoxy-1,1-dimethyl-Δ8-octalin derivs. were magnetically nonequiv., proton magnetic resonance spectra of the Et groups being characteristic of ABC3 systems having chemical shifts of 0.07 to 0.16 ppm. between the methylene protons. Magnetic nonequivalence of the corresponding protons in an analogous series of 10-carbethoxy-trans-decalins and 10-carbethoxy-Δ8-octalins without 1,1-dimethyl substituents could not be detected, the ethoxyl resonances being of the A2B3 type in each case. From these results it is concluded that steric interaction between the ester and the axial 1β-Me group is of major importance in providing different magnetic environments for the O-methylene protons of the methylated derivs., probably due to depopulation of some otherwise accessible conformations of the ester group. Nonequivalence of the O-methylene protons of 10-carbethoxy-1,1-dimethyl-trans-2-decalone and 10-carbethoxy-1,1-dimethyl-Δ8-2-octalone could not be detected. Therefore it appears that in these 2 derivs., the only ones examined with 1,1-dimethyl substitution and trigonal hybridization at C-2, the gem-Me groups are differently oriented with respect to the ester than is the case for the other dimethylated compds., and that the methylated ring in such 2-ketones **thus** is not in a chair conformation. Synthesis of several of the substituted decalins is reported. Hydrogenation of I in acetic acid produces 1,1-dimethyl-2β-hydroxy-trans-decalin-10-carboxylic acid lactone. Successive saponification to the hydroxy acid, Jones oxidation to the oxo acid, and ethylation afford the saturated keto ester II. That this in fact has a trans ring fusion is shown by its Wolff-Kishner reduction to the known 1,1-dimethyl-trans-decalin-10-carboxylic acid. 10-Carbethoxy-1,1-dimethyl-Δ8-octalin was prepared by conversion of the corresponding Δ8-7-ketone into its thioketal, followed by Raney nickel desulfurization. 10-Carbethoxy-Δ1.9-2-octalone,

10-carbethoxy-trans-2-decalone, and I were converted into their thio ketals using the boron fluoride etherate technique.

IT **1444-11-7**, Indole-2,3,3a,4(7aH)tetracarboxylic acid, 1-methyl-, tetramethyl ester
(nuclear magnetic resonance of)

RN 1444-11-7 CAPLUS

CN 1H-Indole-2,3,3a,4(7aH)-tetracarboxylic acid, 1-methyl-, tetramethyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1962:31317 CAPLUS

DOCUMENT NUMBER: 56:31317

ORIGINAL REFERENCE NO.: 56:5914d-g

TITLE: A new type of addition to 1-alkylpyrroles

AUTHOR(S): Acheson, R. M.; Hands, A. R.; Vernon, J. M.

CORPORATE SOURCE: Univ. Oxford, UK

SOURCE: Proc. Chem. Soc. (**1961**) 164-5

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

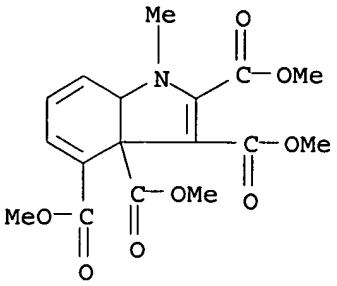
GI For diagram(s), see printed CA Issue.

AB In the addition of (.tplbond.CCO2H)2 to 1-benzylpyrrole, a minor product was the Diels-Alder adduct (I). With 1-methylpyrrole, (.tplbond.CCO2Me)2 (II) gave a 2:1 adduct (III) as well as trimethyl 1-methylpyrrole-2,3,4-tricarboxylate (IV). III heated with II gave IV and vic-C6H3(CO2Me)3 (V). IV was hydrolyzed to a tricarboxylic acid, which selectively lost CO2 from the 2- and 3-positions to give 1-methylindole-4-carboxylic acid. **Thus**, the 2:1 adduct could be formulated as shown. III was probably formed by Diels-Alder addition of II to I-methylpyrrole to give a 1:1 adduct, which reacted with a 2nd mol. of the ester. The loss of one ester group on aromatization, the formation of IV and V by addition of II across the 4,7-positions of the adduct, and the scission of the resulting mol. were now understandable.

IT **1444-11-7**, Indole-2,3,3a,4(7aH)tetracarboxylic acid, 1-methyl-, tetramethyl ester
(preparation of)

RN 1444-11-7 CAPLUS

CN 1H-Indole-2,3,3a,4(7aH)-tetracarboxylic acid, 1-methyl-, tetramethyl ester (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.26	835.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.24	-6.24

FILE 'REGISTRY' ENTERED AT 12:12:57 ON 22 JUN 2004
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 21 JUN 2004 HIGHEST RN 697224-75-2
DICTIONARY FILE UPDATES: 21 JUN 2004 HIGHEST RN 697224-75-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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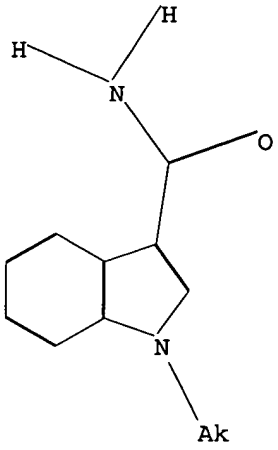

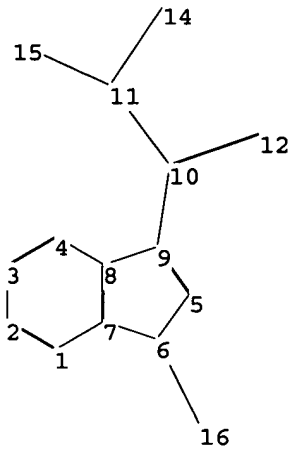

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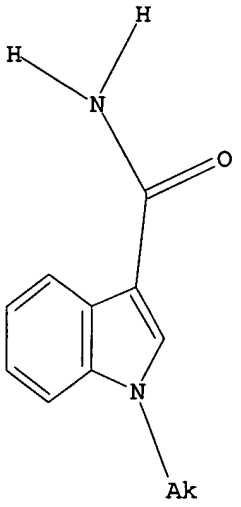
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-16 9-10 10-11 10-12 11-14 11-15
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 6-16 10-11 10-12
exact bonds :
5-9 8-9 9-10 11-14 11-15
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 14:CLASS 15:CLASS 16:CLASS

L21 STRUCTURE UPLOADED

=> d l21
L21 HAS NO ANSWERS
L21 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    522 TO ITERATE

100.0% PROCESSED     522 ITERATIONS                          4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          9070 TO    11810
PROJECTED ANSWERS:                4 TO      200

L22            4 SEA SSS SAM L21

=> s l21 sss full
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FULL SCREEN SEARCH COMPLETED -  10087 TO ITERATE

100.0% PROCESSED   10087 ITERATIONS                         58 ANSWERS
SEARCH TIME: 00.00.01

L23           58 SEA SSS FUL L21

=> FIL CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                             155.42     990.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -6.24

FILE 'CAPLUS' ENTERED AT 12:13:45 ON 22 JUN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 22 Jun 2004 VOL 140 ISS 26
FILE LAST UPDATED: 21 Jun 2004 (20040621/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L24             37 L23

=> s l24 and py<=2002
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L25             33 L24 AND PY<=2002

=> s l25 and thu
           138 THU
       2162536 THUS
       2162659 THU
                  (THU OR THUS)
L26             6 L25 AND THU

=> s l25 p/dt
MISSING OPERATOR L25 P/DT
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s l25 and p/dt
       4372814 P/DT
L27            11 L25 AND P/DT

=> d his

     (FILE 'HOME' ENTERED AT 11:53:52 ON 22 JUN 2004)

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L3              0 S L1 SSS FULL
L4                STRUCTURE UPLOADED
L5              0 S L4
L6              0 S L4 SSS FULL
L7                STRUCTURE UPLOADED
L8              0 S L7
L9              0 S L7 SSS FULL
L10               STRUCTURE UPLOADED
L11             1 S L10
L12             1 S L10 SSS FULL
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L13           0 S L12
L14           0 S L12

     FILE 'REGISTRY' ENTERED AT 12:06:06 ON 22 JUN 2004
L15             STRUCTURE UPLOADED
L16           2 S L15
L17          89 S L15 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:06:39 ON 22 JUN 2004
L18          34 S L17
L19          29 S L18 AND PY<=2002
L20           9 S L19 AND THU

     FILE 'REGISTRY' ENTERED AT 12:12:57 ON 22 JUN 2004
L21             STRUCTURE UPLOADED
L22           4 S L21
L23          58 S L21 SSS FULL

     FILE 'CAPLUS' ENTERED AT 12:13:45 ON 22 JUN 2004
L24          37 S L23
L25          33 S L24 AND PY<=2002
L26           6 S L25 AND THU
L27          11 S L25 AND P/DT

=> d l26 ibib abs hitstr tot

L26  ANSWER 1 OF 6  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2002:213824  CAPLUS
DOCUMENT NUMBER:          136:247492
TITLE:                    Preparation of indolecarboxylates as neoplasm
                          inhibitors.
INVENTOR(S):              Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S):       Cell Pathways, Inc., USA
SOURCE:                   U.S., 45 pp., Cont. of U.S. Ser. No. 200,139,
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 6358992         B1   20020319       US 1999-443395   19991119 <--
PRIORITY APPLN. INFO.:                     US 1998-200139   B1 19981125
OTHER SOURCE(S):          MARPAT 136:247492
GI
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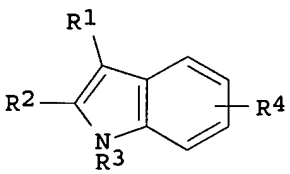


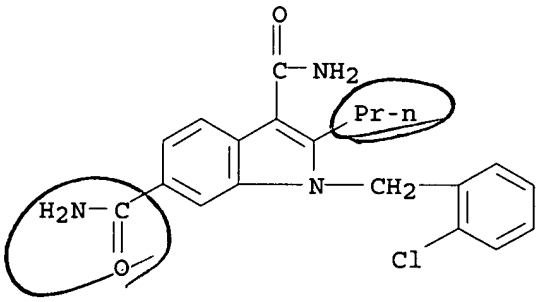
I

AB Claimed is a method of treating a mammal having precancerous lesions comprising administration of title compds. [I; R1 = H, halo, NO2, (protected) carboxy, acyl, cyano, hydroxyiminoalkyl, alkenyl optionally substituted with oxo, alkyl optionally substituted with protected carboxy, carboxy, OH ; R2 = H, halo, alkenyl, acyl, alkyl optionally substituted with protected carboxy, carboxy, alkoxy, OH; R1R2 = atoms to form a 4-7 membered (oxo)carbocyclic ring; R3 = (substituted) alkenyl, alkyl; R4 = (protected) carboxy, acyl, cyano, halo, heterocyclyl, amino optionally substituted with acyl or protected carboxy, alkyl optionally substituted with (protected) carboxy, acyl] (no data). **Thus**, Me 3-acetyl-2-propylindole-6-carboxylate in DMF was treated with NaH then with 2-chlorobenzyl bromide followed by stirring for 1 h to give Me 3-acetyl-1-(2-chlorobenzyl)-2-propylindole-6-carboxylate.

IT **184150-24-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolecarboxylates as neoplasm inhibitors)

RN 184150-24-1 CAPLUS

CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (9CI) (CA INDEX NAME)



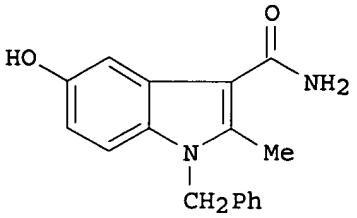
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:597959 CAPLUS
DOCUMENT NUMBER: 135:166782
TITLE: Solid-phase process for making indole compounds
INVENTOR(S): Ketcha, Daniel Michael; Wilson, Lawrence Joseph
PATENT ASSIGNEE(S): Procter + Gamble Co., USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058870	A2	20010816	WO 2001-US4216	20010208 <--
WO 2001058870	A3	20020404		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR,

TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002002286 A1 20020103 US 2001-779080 20010208 <--
PRIORITY APPLN. INFO.: US 2000-181155P P 20000209
OTHER SOURCE(S): CASREACT 135:166782; MARPAT 135:166782
GI

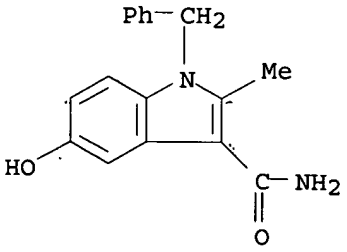


I

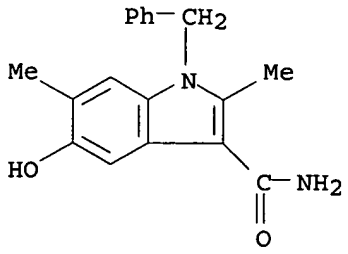
AB Indole compds., e.g. I, were prepared by a solid-phase process. The process was comprised of the following steps: (a) deprotection and treatment of ArgoPore-Rink-NH-Fmoc resin with diketene to provide a resin-bound acetoacetamide; (b) treating the product from Step (a) with a primary amine in the presence of a dehydrating agent to provide a resin-bound enaminone; (c) treating the product from Step (b) with a 1,4-benzoquinone, and releasing the resulting indole product from the resin. **Thus** , I and related derivs. were produced in 5 steps from ArgoPore-Rink-NH-Fmoc resin.

IT **302598-58-9P 302598-59-0P 302598-60-3P**
302598-61-4P 302598-62-5P 302598-63-6P
302598-65-8P 302598-66-9P 302598-67-0P
302598-68-1P 302598-69-2P 302598-70-5P
302598-71-6P 354137-88-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(solid-phase process for making indole compds.)

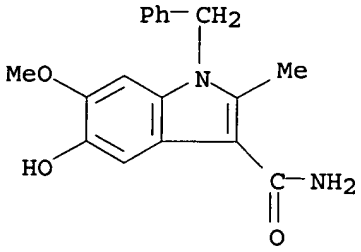
RN 302598-58-9 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



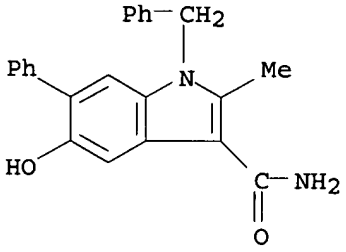
RN 302598-59-0 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2,6-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



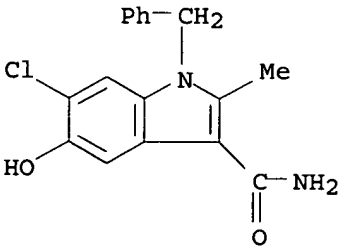
RN 302598-60-3 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-6-methoxy-2-methyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



RN 302598-61-4 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-6-phenyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

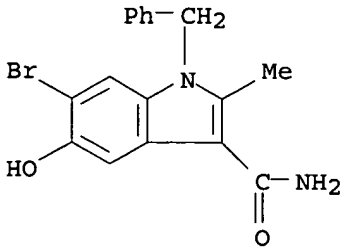


RN 302598-62-5 CAPLUS
CN 1H-Indole-3-carboxamide, 6-chloro-5-hydroxy-2-methyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

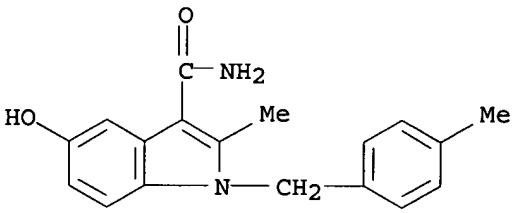


RN 302598-63-6 CAPLUS

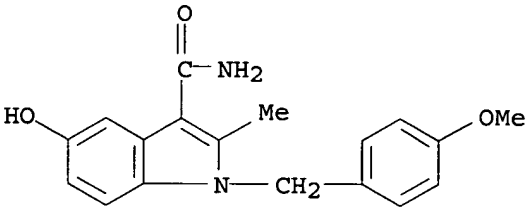
CN 1H-Indole-3-carboxamide, 6-bromo-5-hydroxy-2-methyl-1-(phenylmethyl)-
(9CI) (CA INDEX NAME)



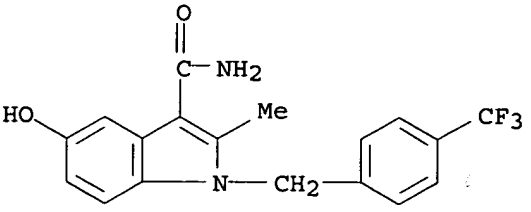
RN 302598-65-8 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[(4-methylphenyl)methyl]-
(9CI) (CA INDEX NAME)



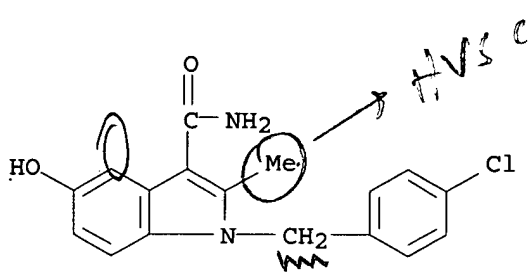
RN 302598-66-9 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-1-[(4-methoxyphenyl)methyl]-2-methyl-
(9CI) (CA INDEX NAME)



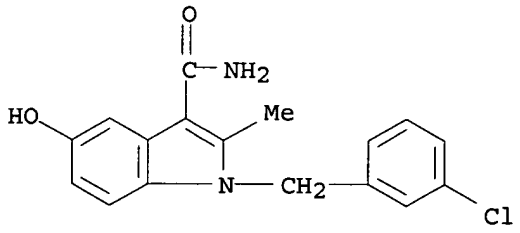
RN 302598-67-0 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[[4-
(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 302598-68-1 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[(4-chlorophenyl)methyl]-5-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

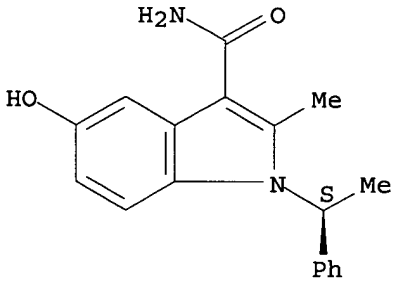


RN 302598-69-2 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[(3-chlorophenyl)methyl]-5-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 302598-70-5 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 302598-71-6 CAPLUS
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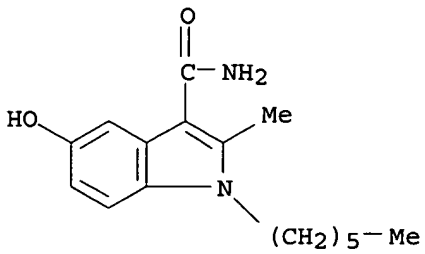
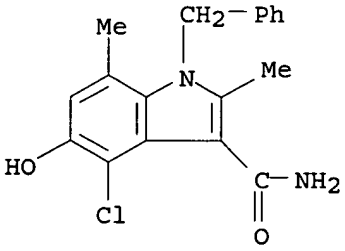


RN 354137-88-5 CAPLUS
CN 1H-Indole-3-carboxamide, 4-chloro-5-hydroxy-2,7-dimethyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



L26 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:513631 CAPLUS
DOCUMENT NUMBER: 127:205572
TITLE: Preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors
INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji; Nishimura, Hiroaki; Matsuda, Hiroshi; Hagiwara, Daijiro; Terasaka, Tadashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727190	A1	19970731	WO 1997-JP73	19970117 <--
W: AU, CA, CN, HU, JP, KR, MX, SG, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9700415	A	19970730	ZA 1997-415	19970117 <--
CA 2244189	AA	19970731	CA 1997-2244189	19970117 <--
AU 9713991	A1	19970820	AU 1997-13991	19970117 <--
EP 880519	A1	19981202	EP 1997-900432	19970117 <--
EP 880519	B1	20020417		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1209809	A	19990303	CN 1997-191798	19970117 <--
JP 2000503984	T2	20000404	JP 1997-526720	19970117 <--
EP 1170009	A2	20020109	EP 2001-123263	19970117 <--

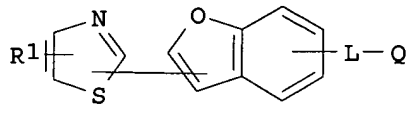
EP 1170009	A3	20020116			
EP 1170009	B1	20040407			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TW 474811	B	20020201	TW 1997-86100473	19970117	<--
AT 216384	E	20020515	AT 1997-900432	19970117	<--
ES 2171878	T3	20020916	ES 1997-900432	19970117	<--
AT 263561	E	20040415	AT 2001-123263	19970117	
US 5994378	A	19991130	US 1998-101766	19980721	<--

PRIORITY APPLN. INFO.:

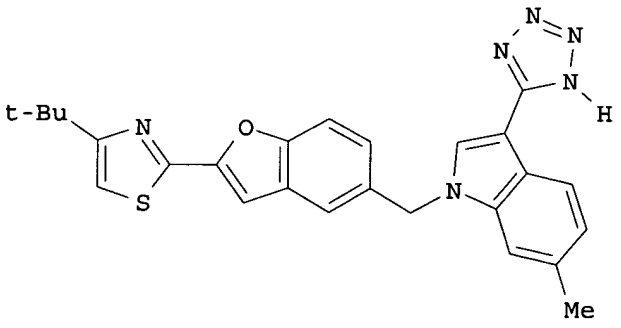
GB 1996-1235	A	19960122
AU 1996-1111	A	19960718
AU 1996-9241	A	19960412
EP 1997-900432	A3	19970117
WO 1997-JP73	W	19970117

OTHER SOURCE(S): MARPAT 127:205572

GI



I



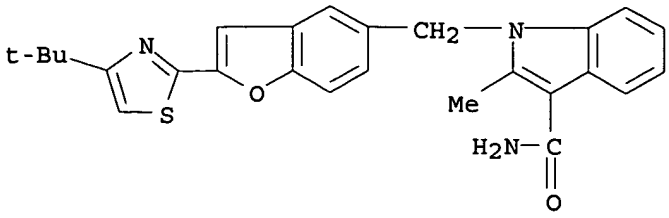
II

AB The title compds. [I; R1 = lower alkyl; L = single bond, (un)substituted lower alkylene; Q = (un)substituted heterocyclic group, lower alkoxy substituted with aryl] which possess activities as leukotriene and SRS-A antagonists or inhibitors, and are useful in the treatment and/or prevention of allergy or inflammation, were prepared **Thus**, treatment of 4-tert-butyl-2-{5-[(3-cyano-6-methylindol-1-yl)methyl]benzofuran-2-yl}thiazole with NaN3 and NH4Cl in DMF afforded the title compound II which showed IC50 of < 5 nM against 3H-leukotriene D4 receptor binding.

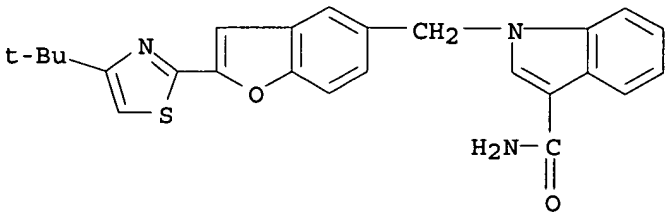
IT **194488-60-3P 194488-61-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)

RN 194488-60-3 CAPLUS

CN 1H-Indole-3-carboxamide, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)



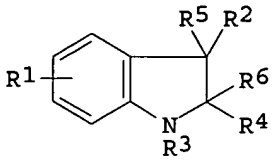
RN 194488-61-4 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]- (9CI) (CA INDEX NAME)



L26 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:483050 CAPLUS
DOCUMENT NUMBER: 121:83050
TITLE: Preparation of 2-indolinethiones and related disulfides and seleno-analogs as protein tyrosine kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen Myra; Showalter, Howard Daniel Hollis; Denny, William Alexander; Palmer, Brian Desmond; Rewcastle, Gordon William; Tercel, Moana; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9403427	A1	19940217	WO 1993-US7272	19930802 <--
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 654024	A1	19950524	EP 1993-918594	19930802 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 71553	A2	19951228	HU 1995-341	19930802 <--
JP 08503450	T2	19960416	JP 1993-519671	19930802 <--
AU 672224	B2	19960926	AU 1993-47994	19930802 <--
AU 9347994	A1	19940303		
CZ 283965	B6	19980715	CZ 1995-288	19930802 <--
NZ 255194	A	20000128	NZ 1993-255194	19930802 <--
RU 2155187	C2	20000827	RU 1995-108332	19930802 <--
SK 283413	B6	20030701	SK 1995-135	19930802

PRIORITY APPLN. INFO.: US 1992-926015 A 19920806
WO 1993-US7272 W 19930802
OTHER SOURCE(S): MARPAT 121:83050
GI



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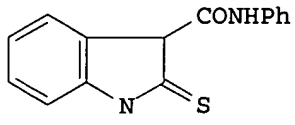


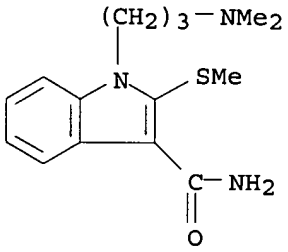
II

AB Title compds. [I; R1 = H, halo, OH, alkyl, alkoxy, CO2H, etc.; 1 or 2 CR1 = N; R2 = (acyl)alkyl, CH:CHCO2H, alkylcarbabamoyl, acyl, etc.; R3 = H, alkyl, CH2Ph; R4 = ZH, ZnX, ZnQ; R5 = H and R4R6 = S or Se; R5R6 = bond; Q = I in which R4 = Zn and R5R6 = bond; X = H, alkyl, CH2Ph, (hetero)aryl; Z = S, Se; n = 0-3] were prepared **Thus**, 1-methyl-2-indolinone was treated with P2S5 and the product treated with NaH and PhNCO to give indolinethionecarboxamide II which had IC50 of 2μM against epidermal growth factor mediated mitogenisis.

IT **156137-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of protein tyrosine kinase inhibitor)

RN 156137-01-8 CAPLUS

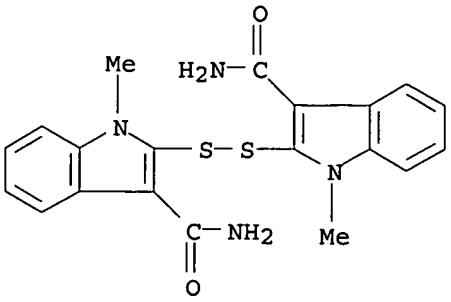
CN 1H-Indole-3-carboxamide, 1-[3-(dimethylamino)propyl]-2-(methylthio)- (9CI) (CA INDEX NAME)



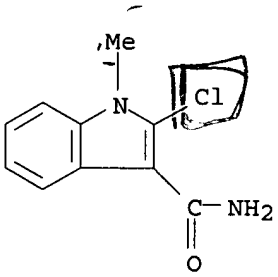
IT **156136-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as protein tyrosine kinase inhibitor)

RN 156136-31-1 CAPLUS

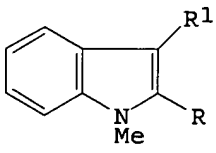
CN 1H-Indole-3-carboxamide, 2,2'-dithiobis[1-methyl- (9CI) (CA INDEX NAME)



IT **120110-10-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of protein tyrosine kinase inhibitor)
RN 120110-10-3 CAPLUS
CN 1H-Indole-3-carboxamide, 2-chloro-1-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:163923 CAPLUS
DOCUMENT NUMBER: 114:163923
TITLE: First reactions of vinylindoles with diethyl mesoxalate, nitrosobenzene, and chlorosulfonyl isocyanate: new functionalized and [b]annulated indoles
AUTHOR(S): Pindur, Ulf; Kim, Myung Hwa
CORPORATE SOURCE: Inst. Pharm., Univ. Mainz, Mainz, D-6500, Fed. Rep. Ger.
SOURCE: Tetrahedron (**1989**), 45(20), 6427-38
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:163923
GI



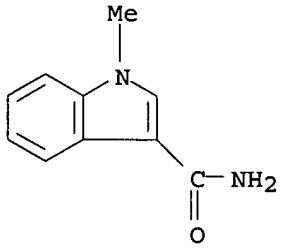
II

AB Di-Et mesoxalate (I) reacts with 2- and 3-vinylindoles via electrophilic

substitution to give new, functionalized and annulated indoles with high regioselectivity. **Thus**, vinylindoles II (R = CH:CHR2, R1 = H; R2 = Me, CO2Me) reacted with I to give II [R1 = C(OH)(CO2Me)2]. Regio-controlled dimerization processes occur in the reactions of the vinylindoles II (R = CH:CHMe, R1 = H; R = H, R1 = CH:CH2). Nitrosobenzene reacts with 2- and 3-vinylindoles in a multi-stage sequence including regiospecific tandem hetero-Diels-Alder reactions and cycloreversions to give the new, 2,3-difunctionalized indoles II (R = CH:NPh, R1 = NPhOH; R = NPhOH, R1 = CH:NPH), which are conformationally stabilized by proton chelation. The heterocumulene chlorosulfonyl isocyanate reacts as a simple electrophile (like di-Et mesoxalate) with 2-vinylindole II (R = CH:CHCO2Me, R1 = H) to give the indole-3-carboxamide II (R same, R1 = CONH2). In addition, analogous reactions of N-methylindole were also studied and, in most cases, gave comparable reactivity patterns.

IT **118959-44-7P 127744-56-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

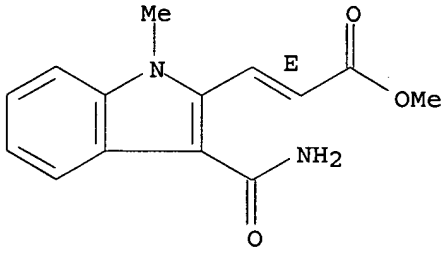
RN 118959-44-7 CAPLUS
CN 1H-Indole-3-carboxamide, 1-methyl- (9CI) (CA INDEX NAME)



HAVE CAS

RN 127744-56-3 CAPLUS
CN 2-Propenoic acid, 3-[3-(aminocarbonyl)-1-methyl-1H-indol-2-yl]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L26 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:552390 CAPLUS
DOCUMENT NUMBER: 113:152390
TITLE: Preparation of spirocyclic compounds incorporating five-membered rings with two heteroatoms, especially spiro[azabicycloctaneoxazoles], useful as drugs
INVENTOR(S): Baker, Raymond; Kneen, Clare O.; Saunders, John; Swain, Christopher
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 337547	A1	19891018	EP 1989-200862	19890406	<--
EP 337547	B1	19940302			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
FI 8901584	A	19891012	FI 1989-1584	19890403	<--
US 4940703	A	19900710	US 1989-333076	19890404	<--
AT 102205	E	19940315	AT 1989-200862	19890406	<--
ES 2061933	T3	19941216	ES 1989-200862	19890406	<--
AU 8932632	A1	19891012	AU 1989-32632	19890410	<--
AU 617785	B2	19911205			
DK 8901704	A	19891012	DK 1989-1704	19890410	<--
NO 8901471	A	19891012	NO 1989-1471	19890410	<--
JP 01305092	A2	19891208	JP 1989-88177	19890410	<--
ZA 8902587	A	19900328	ZA 1989-2587	19890410	<--

PRIORITY APPLN. INFO.: GB 1988-8433 19880411
EP 1989-200862 19890406

OTHER SOURCE(S): MARPAT 113:152390

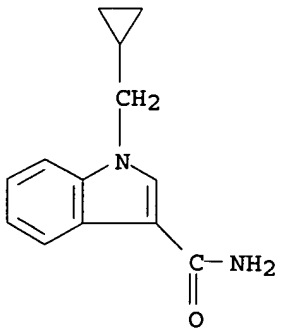
GI For diagram(s), see printed CA Issue.

AB Title compds. I [R1 = H, OH, alkyl, alkenyl, alkynyl, alkoxy, PhCH2O, hydroxyalkyl, halo, amino, cyano, NO2, (substituted) carbamoyl or sulfamoyl; R2 = H, halo, alkyl, alkoxy, alkylcarbonyl; V = N, CH, C; W = O, S, (substituted) NH; 2 of X, Y, Z = O, S, N; other = C; or Y = CO; Q = residue of aza(bi)cyclic system], some of which act on 5-HT3 receptors (no data), are prepared for treatment of psychosis, anxiety, gastric dysfunction, nausea, senile dementia, etc. **Thus**, treatment of 1-methyl-1H-indole-3-nitrile with dry HCl in MeOH gave the Me imidate HCl salt, which underwent cyclocondensation with 3-aminomethyl-3-hydroxy-1-azabicyclo[2.2.2]octane di-HCl in refluxing MeOH to give, after acidification, (methylindolyl)spiro[azabicyclooctaneoxazole] II as the di-HCl salt.

IT **128200-23-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of serotoninergic S3 antagonists)

RN 128200-23-7 CAPLUS

CN 1H-Indole-3-carboxamide, 1-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)

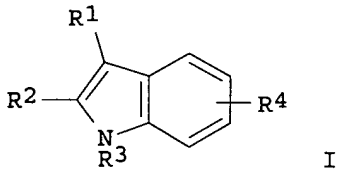


=> d l27 ibib abs hitstr tot

L27 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:213824 CAPLUS
DOCUMENT NUMBER: 136:247492
TITLE: Preparation of indolecarboxylates as neoplasm inhibitors.
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 45 pp., Cont. of U.S. Ser. No. 200,139, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6358992	B1	20020319	US 1999-443395	19991119 <--

PRIORITY APPLN. INFO.: US 1998-200139 B1 19981125
OTHER SOURCE(S): MARPAT 136:247492
GI

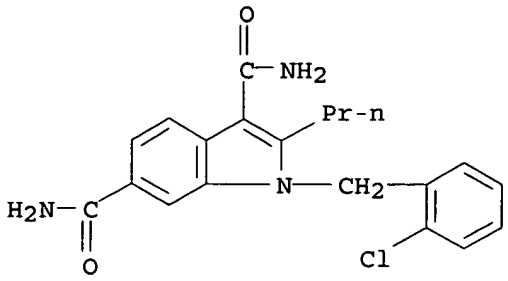


I

AB Claimed is a method of treating a mammal having precancerous lesions comprising administration of title compds. [I; R1 = H, halo, NO2, (protected) carboxy, acyl, cyano, hydroxyiminoalkyl, alkenyl optionally substituted with oxo, alkyl optionally substituted with protected carboxy, carboxy, OH ; R2 = H, halo, alkenyl, acyl, alkyl optionally substituted with protected carboxy, carboxy, alkoxy, OH; R1R2 = atoms to form a 4-7 membered (oxo)carbocyclic ring; R3 = (substituted) alkenyl, alkyl; R4 = (protected) carboxy, acyl, cyano, halo, heterocyclyl, amino optionally substituted with acyl or protected carboxy, alkyl optionally substituted with (protected) carboxy, acyl] (no data). Thus, Me 3-acetyl-2-propylindole-6-carboxylate in DMF was treated with NaH then with 2-chlorobenzyl bromide followed by stirring for 1 h to give Me 3-acetyl-1-(2-chlorobenzyl)-2-propylindole-6-carboxylate.

IT **184150-24-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indolecarboxylates as neoplasm inhibitors)

RN 184150-24-1 CAPLUS
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (9CI) (CA INDEX NAME)

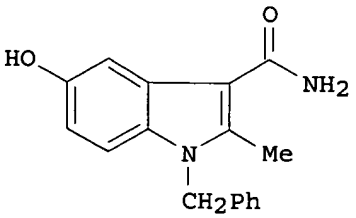


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:597959 CAPLUS
DOCUMENT NUMBER: 135:166782
TITLE: Solid-phase process for making indole compounds
INVENTOR(S): Ketcha, Daniel Michael; Wilson, Lawrence Joseph
PATENT ASSIGNEE(S): Procter + Gamble Co., USA
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058870	A2	20010816	WO 2001-US4216	20010208 <--
WO 2001058870	A3	20020404		
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002002286	A1	20020103	US 2001-779080	20010208 <--

PRIORITY APPLN. INFO.: US 2000-181155P P 20000209
OTHER SOURCE(S): CASREACT 135:166782; MARPAT 135:166782
GI

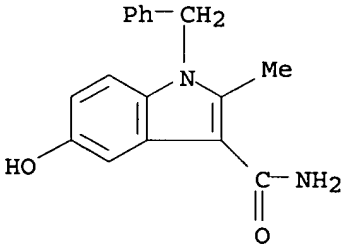


I

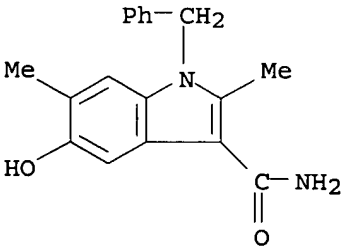
AB Indole compds., e.g. I, were prepared by a solid-phase process. The process was comprised of the following steps: (a) deprotection and treatment of ArgoPore-Rink-NH-Fmoc resin with diketene to provide a resin-bound acetoacetamide; (b) treating the product from Step (a) with a primary amine in the presence of a dehydrating agent to provide a resin-bound enaminone; (c) treating the product from Step (b) with a 1,4-benzoquinone, and releasing the resulting indole product from the resin. Thus, I and related derivs. were produced in 5 steps from ArgoPore-Rink-NH-Fmoc resin.

IT **302598-58-9P 302598-59-0P 302598-60-3P**
302598-61-4P 302598-62-5P 302598-63-6P
302598-65-8P 302598-66-9P 302598-67-0P
302598-68-1P 302598-69-2P 302598-70-5P
302598-71-6P 354137-88-5P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(solid-phase process for making indole compds.)

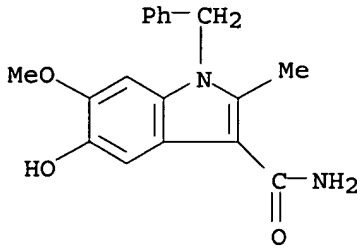
RN 302598-58-9 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



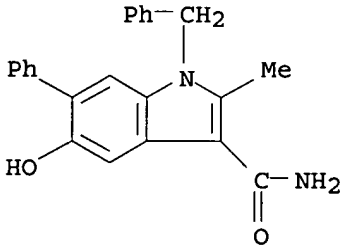
RN 302598-59-0 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2,6-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



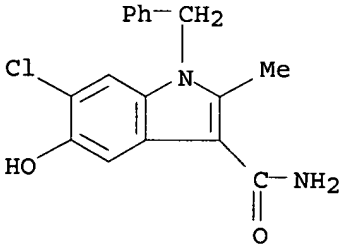
RN 302598-60-3 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-6-methoxy-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



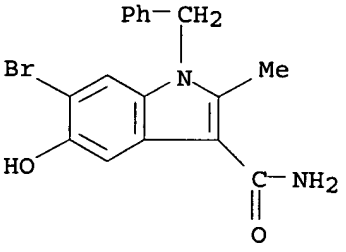
RN 302598-61-4 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-6-phenyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



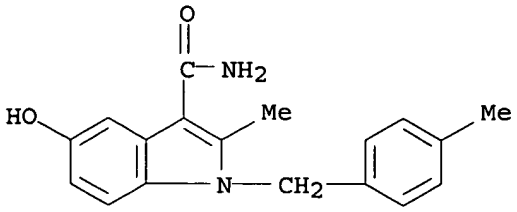
RN 302598-62-5 CAPLUS
CN 1H-Indole-3-carboxamide, 6-chloro-5-hydroxy-2-methyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



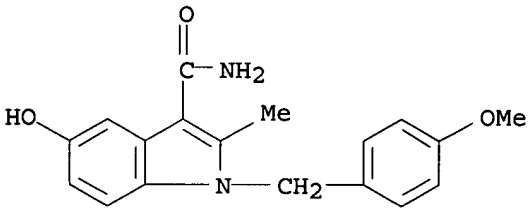
RN 302598-63-6 CAPLUS
CN 1H-Indole-3-carboxamide, 6-bromo-5-hydroxy-2-methyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)



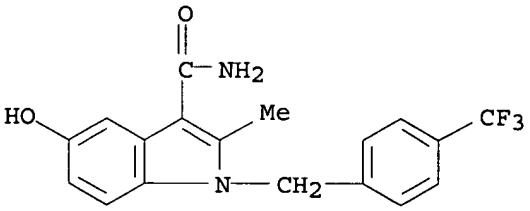
RN 302598-65-8 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[(4-methylphenyl)methyl]-
(9CI) (CA INDEX NAME)



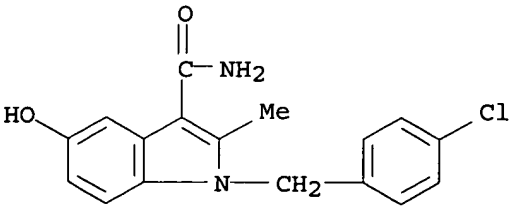
RN 302598-66-9 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-1-[(4-methoxyphenyl)methyl]-2-methyl-
(9CI) (CA INDEX NAME)



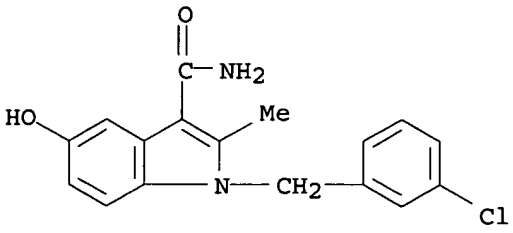
RN 302598-67-0 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[[4-
(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 302598-68-1 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[(4-chlorophenyl)methyl]-5-hydroxy-2-methyl-
(9CI) (CA INDEX NAME)

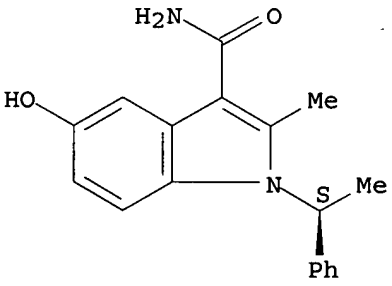


RN 302598-69-2 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[(3-chlorophenyl)methyl]-5-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

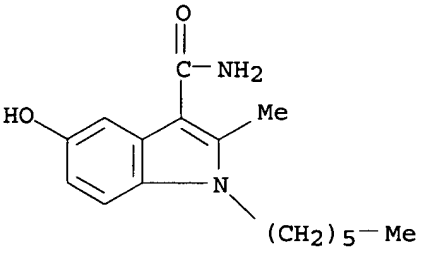


RN 302598-70-5 CAPLUS
CN 1H-Indole-3-carboxamide, 5-hydroxy-2-methyl-1-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

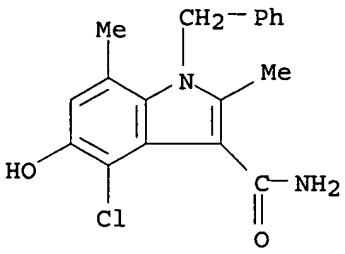
Absolute stereochemistry.



RN 302598-71-6 CAPLUS
CN 1H-Indole-3-carboxamide, 1-hexyl-5-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



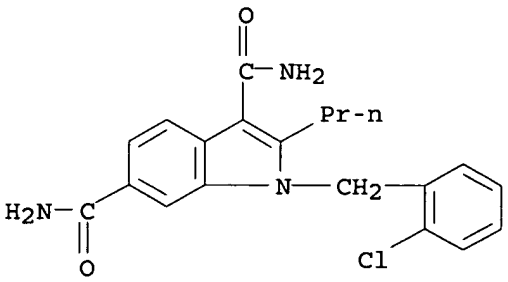
RN 354137-88-5 CAPLUS
CN 1H-Indole-3-carboxamide, 4-chloro-5-hydroxy-2,7-dimethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:274848 CAPLUS
DOCUMENT NUMBER: 129:45274
TITLE: Therapeutic uses and formulations of blood sugar-lowering indoles and their uses in preparation of pharmaceuticals
INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; One, Kazuhiko; Yamazaki, Noritsugu; Imoto, Takafumi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10114654	A2	19980506	JP 1996-268402	19961009 <--

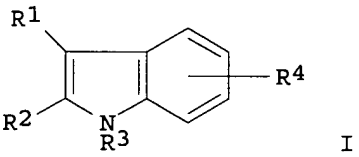
PRIORITY APPLN. INFO.: JP 1996-268402 19961009
OTHER SOURCE(S): MARPAT 129:45274
AB Pharmaceutical prepns. containing indoles their pharmacol. acceptable salts are useful for prevention and/or treatment of glucose tolerance disorders, diabetes mellitus, hyperlipidemia, insulin resistance syndrome, cardiovascular disease, or hyperglycemia. The indoles are also useful in preparation of pharmaceuticals. Administration of 6-benzenesulfonylcarbamoyl-1-(2-chlorobenzyl)-2-methylindole at 300 mg/kg p.o. to db/db mice showed 70% lowering of blood sugar concns.
IT **184150-24-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and therapeutic uses of blood sugar-lowering indoles)
RN 184150-24-1 CAPLUS
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (9CI) (CA INDEX NAME)



L27 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:155177 CAPLUS
DOCUMENT NUMBER: 128:275074
TITLE: Cyclic nucleotide phosphodiesterase (PDE) inhibitors for prevention and treatment of lupus erythematosus and nephritis, and indoles as cGMP-PDE inhibitors
INVENTOR(S): Nomoto, Atsushi; Hamada, Kaori; Kodama, Hiroshi; Sokabe, Keizo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10067682	A2	19980310	JP 1997-191618	19970716 <--

PRIORITY APPLN. INFO.: AU 1996-1188 19960723
OTHER SOURCE(S): MARPAT 128:275074
GI

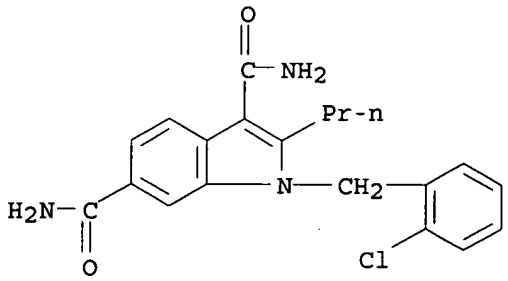


I

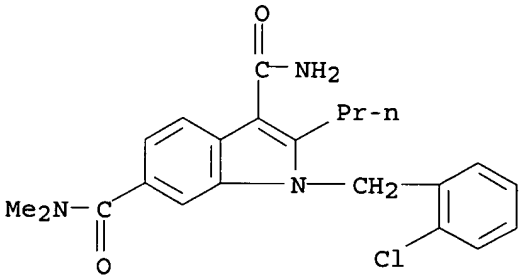
AB Prophylactic and therapeutic agents for (systemic) lupus erythematosus and lupus nephritis contain cyclic nucleotide PDE inhibitors as active ingredients. Also claimed are indoles I [R1 = H, halo, NO2, (protected) CO2H, acyl, cyano, hydroxyimino-lower alkyl, (oxo-substituted) lower alkenyl, etc.; R2 = H, halo, lower alkenyl, acyl, (protected) CO2H, lower alkoxy, lower (hydroxy)alkyl; R3 = (un)substituted lower alkenyl, (un)substituted lower alkyl; R4 = (protected) CO2H, acyl, cyano, halo, heterocyclyl, (un)substituted NH2, (un)substituted alkyl; R1CCR2 may form (oxo-substituted) 4- to 7-membered heterocyclic ring] or their medically acceptable salts as cGMP-PDE inhibitors. 1-(6-Chloro-3,4-methylenedioxybenzyl)-3-methoxyacetyl-2-propylindole-6-carboxamide was effective in treatment of immune-complex nephritis in mice.
IT **184150-24-1P 205528-26-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles as cyclic nucleotide PDE inhibitors for treatment of lupus erythematosus and nephritis)

RN 184150-24-1 CAPLUS
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (9CI) (CA INDEX NAME)



RN 205528-26-3 CAPLUS
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-N6,N6-dimethyl-2-propyl- (9CI) (CA INDEX NAME)



L27 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:513631 CAPLUS
DOCUMENT NUMBER: 127:205572
TITLE: Preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors
INVENTOR(S): Matsuo, Masaaki; Okumura, Kazuo; Shigenaga, Shinji; Nishimura, Hiroaki; Matsuda, Hiroshi; Hagiwara, Daijiro; Terasaka, Tadashi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 244 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727190	A1	19970731	WO 1997-JP73	19970117 <--

W: AU, CA, CN, HU, JP, KR, MX, SG, US, AM, AZ, BY, KG, KZ, MD, RU,

	TJ, TM					
RW:	AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
ZA	9700415	A	19970730	ZA	1997-415	19970117 <--
CA	2244189	AA	19970731	CA	1997-2244189	19970117 <--
AU	9713991	A1	19970820	AU	1997-13991	19970117 <--
EP	880519	A1	19981202	EP	1997-900432	19970117 <--
EP	880519	B1	20020417			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN	1209809	A	19990303	CN	1997-191798	19970117 <--
JP	2000503984	T2	20000404	JP	1997-526720	19970117 <--
EP	1170009	A2	20020109	EP	2001-123263	19970117 <--
EP	1170009	A3	20020116			
EP	1170009	B1	20040407			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TW	474811	B	20020201	TW	1997-86100473	19970117 <--
AT	216384	E	20020515	AT	1997-900432	19970117 <--
ES	2171878	T3	20020916	ES	1997-900432	19970117 <--
AT	263561	E	20040415	AT	2001-123263	19970117
US	5994378	A	19991130	US	1998-101766	19980721 <--

PRIORITY APPLN. INFO.:

GB 1996-1235	A	19960122
AU 1996-1111	A	19960718
AU 1996-9241	A	19960412
EP 1997-900432	A3	19970117
WO 1997-JP73	W	19970117

OTHER SOURCE(S): MARPAT 127:205572

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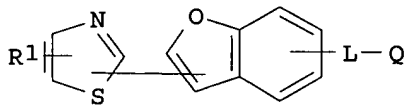

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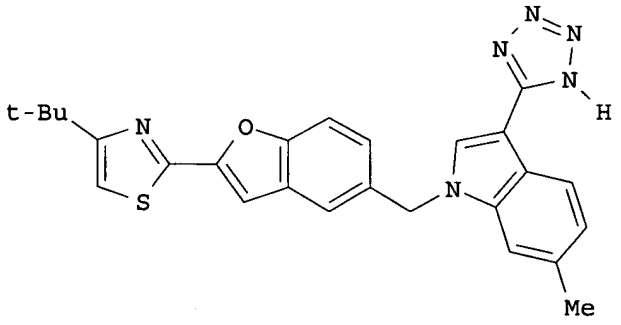


II

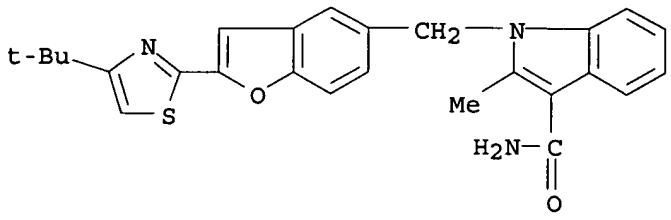
AB The title compds. [I; R1 = lower alkyl; L = single bond, (un)substituted lower alkylene; Q = (un)substituted heterocyclic group, lower alkoxy substituted with aryl] which possess activities as leukotriene and SRS-A antagonists or inhibitors, and are useful in the treatment and/or prevention of allergy or inflammation, were prepared Thus, treatment of 4-tert-butyl-2-{5-[(3-cyano-6-methylindol-1-yl)methyl]benzofuran-2-yl}thiazole with NaN3 and NH4Cl in DMF afforded the title compound II which showed IC50 of < 5 nM against 3H-leukotriene D4 receptor binding.

IT **194488-60-3P 194488-61-4P**

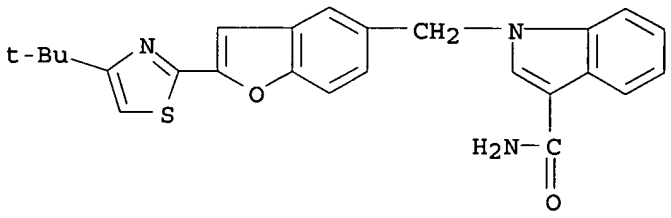
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolylbenzofurans as leukotriene and SRS-A antagonists or inhibitors)

RN 194488-60-3 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]-2-methyl- (9CI) (CA INDEX NAME)



RN 194488-61-4 CAPLUS
CN 1H-Indole-3-carboxamide, 1-[[2-[4-(1,1-dimethylethyl)-2-thiazolyl]-5-benzofuranyl]methyl]- (9CI) (CA INDEX NAME)

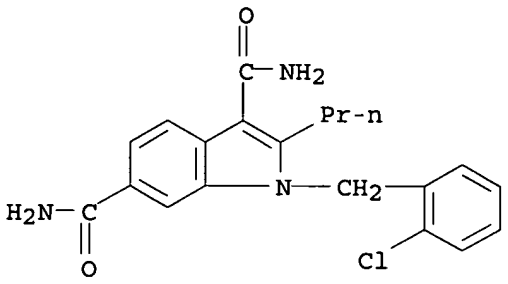


L27 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:746234 CAPLUS
DOCUMENT NUMBER: 126:18786
TITLE: Indole derivatives as cGMP-PDE inhibitors
INVENTOR(S): Oku, Teruo; Sawada, Kozo; Kuroda, Akio; Ohne, Kazuhiko; Nomoto, Atsushi; Hosogai, Naomi; Nakajima, Yoshimitsu; Nagashima, Akira; Sogabe, Keizo; Amura, Kouichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Ltd., Japan
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9632379	A1	19961017	WO 1996-JP892	19960402 <--
CA 2217707	AA	19961017	CA 1996-2217707	19960402 <--
AU 9651234	A1	19961030	AU 1996-51234	19960402 <--
AU 713460	B2	19991202		
EP 820441	A1	19980128	EP 1996-907750	19960402 <--
EP 820441	B1	20020626		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

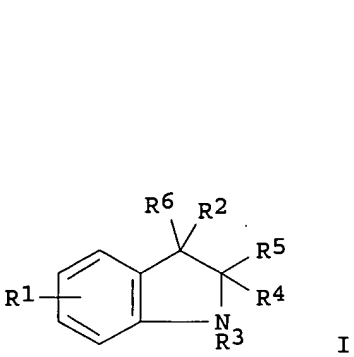
CN 1H-Indole-3,6-dicarboxamide, 1-[(2-chlorophenyl)methyl]-2-propyl- (9CI) (CA INDEX NAME)



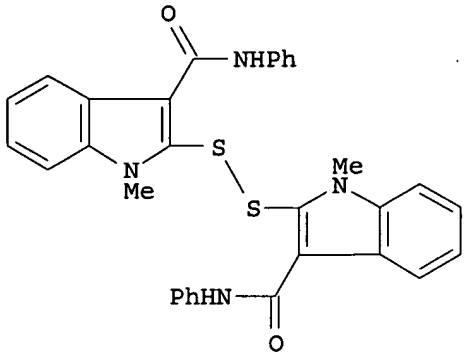
L27 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:982654 CAPLUS
DOCUMENT NUMBER: 124:175826
TITLE: Preparation of 2-indolyldisulfides and analogs as protein tyrosine kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen M.; Showalter, Howard D. H.; Denny, William A.; Palmer, Brian D.; Rewcastle, Gordon W.; Tercel, Moana; Thompson, Andrew M.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 53 pp. Cont.-in-part of U.S. Ser. No. 926, 015, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5464861	A	19951107	US 1993-94792	19930809	<--
HU 71553	A2	19951228	HU 1995-341	19930802	<--
CZ 283965	B6	19980715	CZ 1995-288	19930802	<--
NZ 255194	A	20000128	NZ 1993-255194	19930802	<--
US 5556874	A	19960917	US 1995-438616	19950510	<--

PRIORITY APPLN. INFO.: US 1992-926015 B2 19920806
US 1993-94792 A3 19930809
OTHER SOURCE(S): MARPAT 124:175826
GI



I



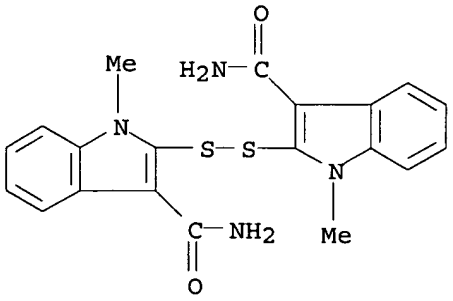
II

AB Title compds. [I; R1 = H, halo, alkyl, alkoxy, etc.; R2 = (acyl)alkyl, acyl, CH:CHCO2H, etc.; R3 = H, alkyl, CH2Ph; R4 = SH, SnR, SeH, SenR, etc.; R = H, alkyl, (hetero)aryl, I in which R4 = bond, etc.; R4R5 = S, Se; R5R6 = bond; R6 = H; n = 1-3] were prepared 2Hus, 1-methyl-2-indolinone was treated with P2S5 and the product condensed with PhNCO to give, after oxidation, title compound II which had IC50 of 3-4μM against growth factor mediated mitogenesis in vitro.

IT **156136-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-indolyldisulfides and analogs as protein tyrosine kinase inhibitors and antitumor agents)

RN 156136-31-1 CAPLUS

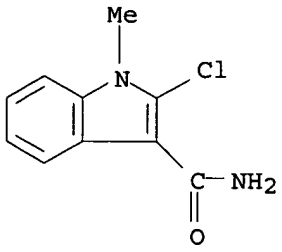
CN 1H-Indole-3-carboxamide, 2,2'-dithiobis[1-methyl- (9CI) (CA INDEX NAME)



IT **120110-10-3**, 2-Chloro-1-methylindole-3-carboxamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-indolyldisulfides and analogs as protein tyrosine kinase inhibitors and antitumor agents)

RN 120110-10-3 CAPLUS

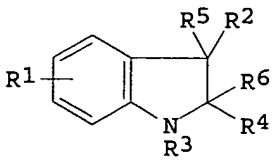
CN 1H-Indole-3-carboxamide, 2-chloro-1-methyl- (9CI) (CA INDEX NAME)



L27 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:483050 CAPLUS
DOCUMENT NUMBER: 121:83050
TITLE: Preparation of 2-indolinethiones and related disulfides and seleno-analogs as protein tyrosine kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen Myra; Showalter, Howard Daniel Hollis; Denny, William Alexander; Palmer, Brian Desmond; Rewcastle, Gordon William; Tercel, Moana; Thompson, Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9403427	A1	19940217	WO 1993-US7272	19930802 <--
W: AU, CA, CZ, FI, HU, JP, KR, NO, NZ, RU, SK				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 654024	A1	19950524	EP 1993-918594	19930802 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 71553	A2	19951228	HU 1995-341	19930802 <--
JP 08503450	T2	19960416	JP 1993-519671	19930802 <--
AU 672224	B2	19960926	AU 1993-47994	19930802 <--
AU 9347994	A1	19940303		
CZ 283965	B6	19980715	CZ 1995-288	19930802 <--
NZ 255194	A	20000128	NZ 1993-255194	19930802 <--
RU 2155187	C2	20000827	RU 1995-108332	19930802 <--
SK 283413	B6	20030701	SK 1995-135	19930802

PRIORITY APPLN. INFO.: US 1992-926015 A 19920806
WO 1993-US7272 W 19930802
OTHER SOURCE(S): MARPAT 121:83050
GI



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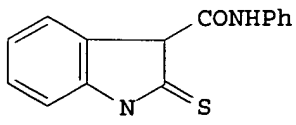


II

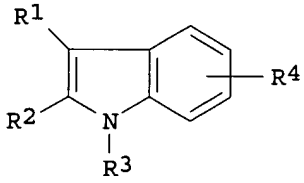
CN 1187812	A	19980715	CN 1996-194691	19960402	<--
JP 11503445	T2	19990326	JP 1996-530864	19960402	<--
AT 219765	E	20020715	AT 1996-907750	19960402	<--
ES 2175079	T3	20021116	ES 1996-907750	19960402	<--
ZA 9602859	A	19961011	ZA 1996-2859	19960410	<--
TW 420663	B	20010201	TW 1996-85104519	19960416	<--
US 6069156	A	20000530	US 1997-930597	19971210	<--

PRIORITY APPLN. INFO.:

GB 1995-7432	A	19950410
GB 1995-12560	A	19950621
GB 1995-16136	A	19950807
AU 1996-8294	A	19960227
WO 1996-JP892	W	19960402

OTHER SOURCE(S): MARPAT 126:18786

GI



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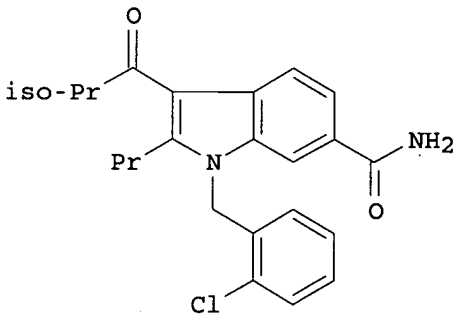


II

AB The invention relates to new indole derivs. I and their pharmaceutically acceptable salts [wherein R1 = H, halo, NO2, CO2H, protected CO2H, acyl, (un)substituted alk(en)yl, etc.; R2 = H, halo, alkenyl, acyl, (un)substituted alkyl, etc.; R3 = (un)substituted alk(en)yl where the substituent is oxo, (un)substituted aryl, or heterocyclyl; R4 = CO2H, protected CO2H, acyl, cyano, amino, halo, etc.; R1 and R2 may form 4- to 7-membered carboxylic ring (un)substituted with oxo]. I are cyclic nucleotide-PDE inhibitors (specifically cGMP-PDE), and are useful for treating and preventing a variety of conditions, including angina, hypertension, renal failure, atherosclerosis, stroke, asthma, impotence, diabetic complications, and glaucoma. Almost 300 compds. I and numerous intermediates were prepared For example, Me 3-isobutyryl-2-propylindole-6-carboxylate (preparation given) was N-benzylated by 2-chlorobenzyl bromide using NaH in DMF. The product underwent saponification with NaOH in aqueous EtOH, followed by amidation of the resultant acid using EDC, HOBt, and aqueous NH3, to give title amide II. II inhibited human platelet cGMP-PDE in vitro with IC50 <100 nM. I were also active in a variety of other bioassays, including relaxation of isolated rat aorta, inhibition of vascular smooth muscle cell proliferation, inhibition of vasopressin-induced vasospasm, the cyclosporin and FK506 nephritis models, the diabetic glomerulosclerosis model, and several animal impotence models.

IT **184150-24-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as cGMP-PDE inhibitors)

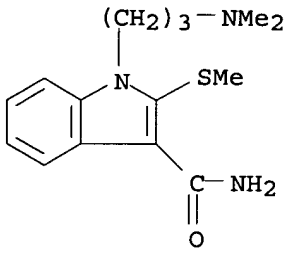
RN 184150-24-1 CAPLUS

AB Title compds. [I; R1 = H, halo, OH, alkyl, alkoxy, CO2H, etc.; 1 or 2 CR1 = N; R2 = (acyl)alkyl, CH:CHCO2H, alkylcarbabamoyl, acyl, etc.; R3 = H, alkyl, CH2Ph; R4 = ZH, ZnX, ZnQ; R5 = H and R4R6 = S or Se; R5R6 = bond; Q = I in which R4 = Zn and R5R6 = bond; X = H, alkyl, CH2Ph, (hetero)aryl; Z = S, Se; n = 0-3] were prepared Thus, 1-methyl-2-indolinone was treated with P2S5 and the product treated with NaH and PhNCO to give indolinethionecarboxamide II which had IC50 of 2μM against epidermal growth factor mediated mitogenisis.

IT **156137-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of protein tyrosine kinase inhibitor)

RN 156137-01-8 CAPLUS

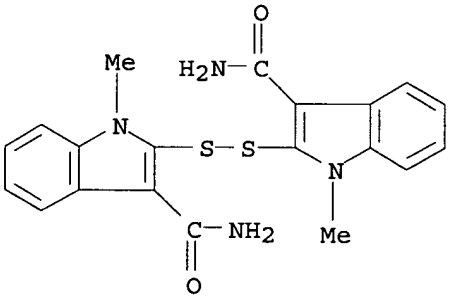
CN 1H-Indole-3-carboxamide, 1-[3-(dimethylamino)propyl]-2-(methylthio)- (9CI) (CA INDEX NAME)



IT **156136-31-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as protein tyrosine kinase inhibitor)

RN 156136-31-1 CAPLUS

CN 1H-Indole-3-carboxamide, 2,2'-dithiobis[1-methyl- (9CI) (CA INDEX NAME)

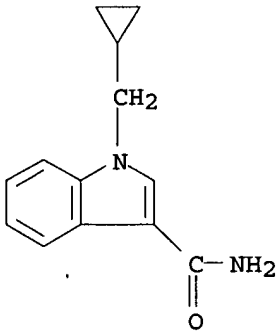


IT **120110-10-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of protein tyrosine kinase inhibitor)

RN 120110-10-3 CAPLUS

CN 1H-Indole-3-carboxamide, 2-chloro-1-methyl- (9CI) (CA INDEX NAME)

IT **128200-23-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of serotoninergic S3 antagonists)
RN 128200-23-7 CAPLUS
CN 1H-Indole-3-carboxamide, 1-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



L27 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:139035 CAPLUS
DOCUMENT NUMBER: 112:139035
TITLE: Five-membered heterocycles as pharmaceuticals
INVENTOR(S): Baker, Raymond; Saunders, John; Swain, Christopher
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 328200	A1	19890816	EP 1989-200244	19890203	<--
EP 328200	B1	19931208			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
US 4952587	A	19900828	US 1989-306007	19890203	<--
AT 98241	E	19931215	AT 1989-200244	19890203	<--
ES 2061928	T3	19941216	ES 1989-200244	19890203	<--
ZA 8900921	A	19900228	ZA 1989-921	19890207	<--
JP 01268687	A2	19891026	JP 1989-28813	19890209	<--
JP 2505875	B2	19960612			
FI 8900657	A	19890813	FI 1989-657	19890210	<--
DK 8900616	A	19890813	DK 1989-616	19890210	<--
NO 8900594	A	19890814	NO 1989-594	19890210	<--
AU 8929860	A1	19890817	AU 1989-29860	19890210	<--
AU 614027	B2	19910815			
CA 1337199	A1	19951003	CA 1989-590772	19890210	<--
US 5041456	A	19910820	US 1990-552395	19900713	<--

PRIORITY APPLN. INFO.:
GB 1988-3317 19880212
GB 1988-10789 19880506
EP 1989-200244 19890203
US 1989-306007 19890203

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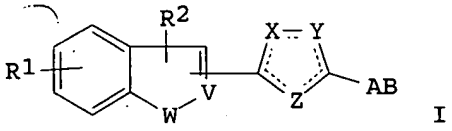


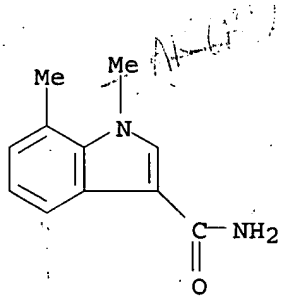
I

AB Title compds. I [X, Y, Z = O, S, N, C and at least one of X, Y, and Z = O, S, N; the dotted circle = one or two double bonds in any position; R1 = H, OH, alkyl, alkenyl, alkynyl, amino, cyano, etc.; R2 = H, halo, alkyl, alkoxy, alkylcarbonyl; V = CH, C (when bond with the 5-membered ring); W = O, S, NR3 (R3 = H, alkyl, alkenyl, alkynyl); A = bond, (substituted) alkylene; B = non-aromatic aza(bi)cyclyl, NR4R5 (R4, R5 = H, alkyl, alkenyl, alkynyl, aralkyl)] are prepared I are useful for treating psychotic disorders (e.g. schizophrenia, mania), anxiety, alc. or drug withdrawal, pain, gastric stasis, gastric dysfunction (e.g. peptic ulcer, esophageal reflux, flatulence), migraine, nausea, vomiting, and presenile and senile dementia (Alzheimer's disease) (no data). A mixture of H2NOH, HCl, K2CO3, and 1-methylindole-3-nitrile in EtOH was refluxed to give 1-methylindol-3-ylamide oxime, which in DMF in the presence of mol. sieves was successively treated with NaH and 3-carbomethoxy-1-azabicyclo[2.2.2]octane to give 3-[3-(methylindol-3-yl)-1,2,4-oxadiazol-5-yl]-1-azabicyclo[2.2.2]octane.

IT **125818-12-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pharmaceuticals)

RN 125818-12-4 CAPLUS

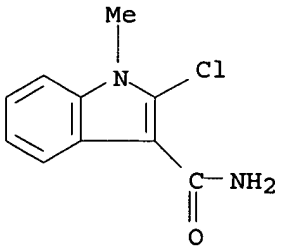
CN 1H-Indole-3-carboxamide, 1,7-dimethyl- (9CI) (CA INDEX NAME)



IT **118959-44-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of pharmaceuticals)

RN 118959-44-7 CAPLUS

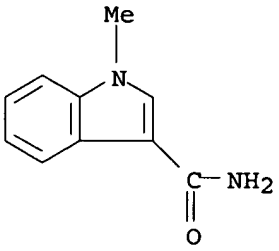
CN 1H-Indole-3-carboxamide, 1-methyl- (9CI) (CA INDEX NAME)



L27 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:552390 CAPLUS
DOCUMENT NUMBER: 113:152390
TITLE: Preparation of spirocyclic compounds incorporating five-membered rings with two heteroatoms, especially spiro[azabicycloctaneoxazoles], useful as drugs
INVENTOR(S): Baker, Raymond; Kneen, Clare O.; Saunders, John; Swain, Christopher
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 337547	A1	19891018	EP 1989-200862	19890406	<--
EP 337547	B1	19940302			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
FI 8901584	A	19891012	FI 1989-1584	19890403	<--
US 4940703	A	19900710	US 1989-333076	19890404	<--
AT 102205	E	19940315	AT 1989-200862	19890406	<--
ES 2061933	T3	19941216	ES 1989-200862	19890406	<--
AU 8932632	A1	19891012	AU 1989-32632	19890410	<--
AU 617785	B2	19911205			
DK 8901704	A	19891012	DK 1989-1704	19890410	<--
NO 8901471	A	19891012	NO 1989-1471	19890410	<--
JP 01305092	A2	19891208	JP 1989-88177	19890410	<--
ZA 8902587	A	19900328	ZA 1989-2587	19890410	<--

PRIORITY APPLN. INFO.: GB 1988-8433 19880411
EP 1989-200862 19890406
OTHER SOURCE(S): MARPAT 113:152390
GI For diagram(s), see printed CA Issue.
AB Title compds. I [R1 = H, OH, alkyl, alkenyl, alkynyl, alkoxy, PhCH2O, hydroxyalkyl, halo, amino, cyano, NO2, (substituted) carbamoyl or sulfamoyl; R2 = H, halo, alkyl, alkoxy, alkylcarbonyl; V = N, CH, C; W = O, S, (substituted) NH; 2 of X, Y, Z = O, S, N; other = C; or Y = CO; Q = residue of aza(bi)cyclic system], some of which act on 5-HT3 receptors (no data), are prepared for treatment of psychosis, anxiety, gastric dysfunction, nausea, senile dementia, etc. Thus, treatment of 1-methyl-1H-indole-3-nitrile with dry HCl in MeOH gave the Me imidate HCl salt, which underwent cyclocondensation with 3-aminomethyl-3-hydroxy-1-azabicyclo[2.2.2]octane di-HCl in refluxing MeOH to give, after acidification, (methylindolyl)spiro[azabicyclooctaneoxazole] II as the di-HCl salt.



L27 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:23730 CAPLUS
DOCUMENT NUMBER: 110:23730
TITLE: 5-Hydroxyindole-3-carboxamide derivatives as diuretics and cardiovascular agents, their preparation, and formulations containing them
INVENTOR(S): Tahara, Tetsuya; Ikabe, Tsuguo; Hakamada, Ichiro; Yaoka, Osamu
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8805432	A1	19880728	WO 1988-JP35	19880119 <--
W: US				
RW: AT, BE, CH, DE, FR, GB, IT, NL, SE				
EP 299076	A1	19890118	EP 1988-900852	19880119 <--
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 63301862	A2	19881208	JP 1988-11225	19880121 <--
US 4874759	A	19891017	US 1988-261836	19880923 <--

PRIORITY APPLN. INFO.: JP 1987-14943 19870123
WO 1988-JP35 19880119
OTHER SOURCE(S): CASREACT 110:23730; MARPAT 110:23730
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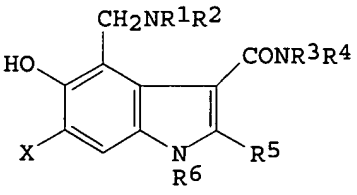


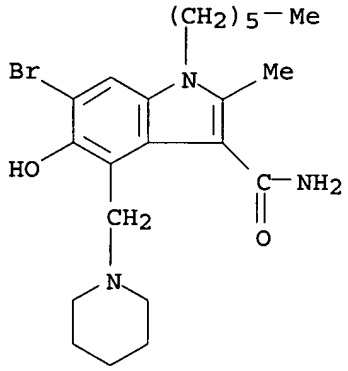
I

AB The title compds. I (R1-R4 = H, alkyl, aryl, aralkyl, heteroaryl, or NR1R2, NR3R4 = heterocyclyl; R5 = alkyl; R6 = H, alkyl, cycloalkyl, etc.; X = H, halo, lower alkanoyl) and acid addition salts thereof, useful as diuretics and agents for the treatment of circulation disorders, were prepared Reaction of N,N-dibutyl-5-hydroxy-2-methyl-1-(2-phenylethyl)indole-3-carboxamide with piperidine and HCHO, followed by Friedel-Crafts

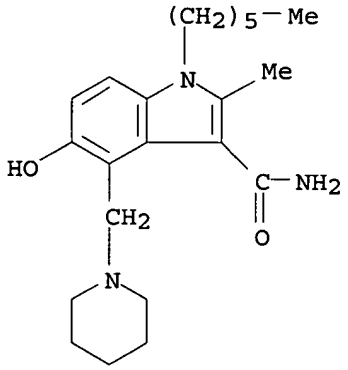
reaction with MeCH2COCl, gave N,N-dibutyl-5-hydroxy-2-methyl-1-(2-phenylethyl)-4-piperidinomethyl-6-propionylindole-3-carboxamide (II). II increased coronary blood flow with an ED50 of 12 μg i.v. in dogs. Tablets containing 4-(6-bromo-5-hydroxy-2-methyl-4-piperidinomethyl-1H-indol-3-ylcarbonyl)morpholine 5, starch 15, lactose 60, microcryst. cellulose 16, talc 3, and Mg stearate 1 mg were prepared

IT **118052-76-9P 118052-94-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as diuretic and agent for treatment of circulation disorders)

RN 118052-76-9 CAPLUS
CN 1H-Indole-3-carboxamide, 6-bromo-1-hexyl-5-hydroxy-2-methyl-4-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 118052-94-1 CAPLUS
CN 1H-Indole-3-carboxamide, 1-hexyl-5-hydroxy-2-methyl-4-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	89.81	1080.23

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.78	-18.02

STN INTERNATIONAL LOGOFF AT 12:18:44 ON 22 JUN 2004